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Terms	Documents
L6 and (424/450).ccls.	5

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Search: L7

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DATE: Thursday, July 19, 2007 **Purge Queries** Printable Copy Create Case

Set Name side by side	Query	Hit Count	Set Name result set
DB=PGPB,USPT,USOC,EPAB,JPAB,DWPI,TDBD; PLUR=YES; OP=OR			
L7	L6 and 424/450.ccls.	5	L7
L6	liposome and (urokinase adj3 inhibit$)	147	L6
L5	liposome same (urokinase adj3 inhibit$)	2	L5
L4	L3 and ($amidino$ or $guanidino$)	9	L4
L3	$phenylalanine same liposome	385	L3
L2	L1 and (urokinase adj3 inhibit$)	3	L2
L1	phenylalanine same liposome	246	L1

END OF SEARCH HISTORY

Refine Search

Search Results -

Terms	Documents
liposome same cryoprotectant same cholesterol	16

Database:
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Set Name side by side	Query	Hit Count	Set Name result set
DB=PGPB,USPT,USOC,EPAB,JPAB,DWPI,TDBD; PLUR=YES; OP=OR			
L9	liposome same cryoprotectant same cholesterol	16	L9
L8	liposome same cyroprotectant same cholesterol	0	L8
L7	L6 and 424/450.ccls.	5	L7
L6	liposome and (urokinase adj3 inhibit$)	147	L6
L5	liposome same (urokinase adj3 inhibit$)	2	L5
L4	L3 and ($amidino$ or $guanidino$)	9	L4
L3	$phenylalanine same liposome	385	L3
L2	L1 and (urokinase adj3 inhibit$)	3	L2
L1	phenylalanine same liposome	246	L1

END OF SEARCH HISTORY

L3: Entry 4 of 5 File: USPT Dec 5, 2000

DOCUMENT-IDENTIFIER: US 6156337 A
TITLE: Method for high loading of vesicles with biopolymeric substances

Drawing Description Text (11):
The step c) of method A of the invention is for taking up the product of step b) into a solution of the substances to be encapsulated in a physiologically compatible solution. Preferably, the physiological compatible solution is equivalent to a sodium chloride solution up to about 1.5% by weight. It is also possible to use other salts as long as they are physiologically compatible e.g. as cryoprotectant e. g., sugars and/or amino acids. Preferably, lactose, sucrose or trehalose is used as a cryoprotectant.

Drawing Description Text (15):
The step e) of the present invention is drying the fraction obtained in step d) under conditions retaining the function of the substance to be loaded. A preferred method for drying the mixture is lyophilization. The lyophilization may be carried out in presence of a cryoprotectant, for example, lactose or other saccharides or amino acids. Alternatively, evaporation or spray-drying can be used.

Drawing Description Text (28):
Method E is simpler than methods A-D described above. It requires dissolving the compounds used for liposome preparation (lipids antioxidants, etc.) in a polar-protic water miscible solvent such as tert.-butanol. This solution is then mixed with an aqueous solution or dispersion containing the active agent which can be an antigen, enzyme, proenzyme, clotting factor, oligo or polynucleotides, etc. The mixing is performed at the optimum volume ratio required to maintain the biological and pharmacological activity of the agent. The mixture is then lyophilized in the presence or absence of cryoprotectant. Rehydration is required before the use of the liposomal formulation. These liposomes are multi-lamellar, their downsizing is achieved by one of the methods described above (see FIG. 3).

Drawing Description Text (46):
Five methods A-E of preparing the liposomal vaccines based on multilamellar large vesicles (MLVs) were employed as described in detail in the following examples and in FIGS. 1, 2 and 3. Parameters that were tested and compared include the effect of lipid to antigen ratio, the extent of antigen exposure on the liposome surface, chemical and physical stability of the liposomal vaccine, comparison between various methods to prepare the liposomes on the above parameters, effect to freezing and thawing, lyophilization (freeze-drying) in the presence and absence of cryoprotectant (lactose) and the effect of an immunomodulator. Efficacy of the vaccine was determined by seroconversion in Balb/C mice 35 days after intra-peritoneal injection of the vaccine.

Drawing Description Text (48):
Richards, R. L. et al. (1989) vaccine 7: 506-512 disclosed a method of making liposomal malaria spurozite antigene which utilizes DMPC and DMPG but not alone; the liposomes were composed of DMPC, DMPG and cholesterol in molar ratios of 9:1:7.5. Lipid A and aluminum hydroxide were also present, and in the absence of these two compounds low immunogenicity resulted.

Detailed Description Text (7):
Sample (7): Liposomes containing HBsAg and "empty liposomes" were prepared as described for sample (5), except that the solution for lipid hydration also included 5% lactose as a cryoprotectant. The liposomes were frozen and dried. The dry powder obtained was reconstituted before use with sterile pyrogen-free double distilled water. The loading efficiency of HBsAg in the preparation, the size of the liposomes and the extent of HBsAg exposure on the liposomes were determined as described for sample (1). Similar results to those of sample (5) were obtained. The immunization efficacy of the liposomal vaccine was checked in Balb/c mice, as described for sample (2) and anti-HBs was measured 35 days after vaccination. In all cases a high titer of antibodes was obtained which can protect against infection by HBV. Comparison to the lactose-containing freeze-dried vaccine (sample (5)) clearly demonstrates that the lactose-containing vaccine was preferable for all doses of antigen used, except for the high dose (2.5 .mu.g of protein).

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Search Results -

Terms	Documents
L4 and (424/450).ccls.	4

Database:
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Search: L4 and 424/450.ccls.

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L5	L4 and 424/450.ccls.	4	L5
L4	liposome and (urokinase adj2 inhibit$)	100	L4
L3	L2 and cryoprotectant	5	L3
L2	liposome adj5 DMPG	83	L2
L1	liposome same DMPG same phenylalanine	0	L1

END OF SEARCH HISTORY

Refine Search

Search Results -

Terms	Documents
L6 and (424/450).ccls.	5

Database: US Pre-Grant Publication Full-Text Database; US Patents Full-Text Database; US OCR Full-Text Database; EPO Abstracts Database; JPO Abstracts Database; Derwent World Patents Index; IBM Technical Disclosure Bulletins

Search: L7

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Search History

DATE: Thursday, July 19, 2007 **Purge Queries** Printable Copy Create Case

Set Name side by side	Query	Hit Count	Set Name result set
DB=PGPB,USPT,USOC,EPAB,JPAB,DWPI,TDBD; PLUR=YES; OP=OR			
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L4	L3 and ($amidino$ or $guanidino$)	9	L4
L3	$phenylalanine same liposome	385	L3
L2	L1 and (urokinase adj3 inhibit$)	3	L2
L1	phenylalanine same liposome	246	L1

END OF SEARCH HISTORY

L4: Entry 7 of 9 File: USPT Apr 6, 2004

5955199
6146658

DOCUMENT-IDENTIFIER: US 6716963 B1
** See image for Certificate of Correction **
TITLE: Peptide antiangiogenic drugs

Brief Summary Text (9):
or a pharmaceutically acceptable salt, ester, solvate or prodrug thereof, wherein: A.sub.0 is an acyl group selected from: (1) R--(CH.sub.2).sub.n --C(O)--; wherein n is an integer from 0 to 8 and R is selected from hydroxyl; methyl; N-acetylamino; methoxyl; carboxyl; cyclohexyl optionally containing one or two double bonds and optionally substituted with one to three hydroxyl groups; and a 5- or 6-membered aromatic or nonaromatic ring optionally containing one or two heteroatoms selected from nitrogen, oxygen, and sulfur, wherein the ring is optionally substituted with a moiety selected from alkyl, alkoxy, and halogen; and (2) R.sup.1 --CH.sub.2 CH.sub.2 --(OCH.sub.2 CH.sub.2 O).sub.p --CH.sub.2 --C(O)--; wherein R.sup.1 is selected from hydrogen, alkyl and N-acetylamino, and p is an integer from 1 to 8; A.sub.1 is an amino acyl residue selected from: (1) alanyl, (2) asparaginyl, (3) citrullyl, (4) glutaminyl, (5) glutamyl, (6) N-ethylglycyl, (7) methionyl, (8) N-methylalanyl, (9) prolyl, (10) pyro-glutamyl, (11) sarcosyl, (12) seryl, (13) threonyl, (14) --HN--(CH.sub.2).sub.q --C(O)--, wherein q is 1 to 8, and (15) --HN--CH.sub.2 CH.sub.2 --(OCH.sub.2 CH.sub.2 O).sub.r --CH.sub.2 --C(O)--, wherein r is 1 to 8; A.sub.2 is an amino acyl residue selected from: (1) alanyl, (2) asparaginyl, (3) aspartyl, (4) glutaminyl, (5) glutamyl, (6) leucyl, (7) methionyl, (8) phenylalanyl, (9) prolyl, (10) seryl, (11) --HN--(CH.sub.2).sub.q --C(O)--, wherein q is 1 to 8, and (12) --HN--CH.sub.2 CH.sub.2 --(OCH.sub.2 CH.sub.2 O).sub.r --CH.sub.2 --C(O)--, wherein r is 1 to 8; A.sub.3 is an amino acyl residue selected from: (1) alanyl, (2) asparaginyl, (3) citrullyl, (4) cyclohexylalanyl, (5) cyclohexylglycyl, (6) glutaminyl, (7) glutanyl, (8) glycyl, (9) isoleucyl, (10) leucyl, (11) methionyl, (12) norvalyl, (13) phenylalanyl, (14) seryl, (15) t-butylglycyl, (16) threonyl, (17) valyl, (18) penicillaminyl, and (19) cystyl; A.sub.4 is an amino acyl residue of L or D configuration selected from: (1) allo-isoleucyl, (2) glycyl, (3) isoleucyl, (4) prolyl, (5) dehydroleucyl, (6) D-alanyl, (7) D-3-(naphth-1-yl)alanyl, (8) D-3-(naphth-2-yl)alanyl, (9) D-3-pyridyl)alanyl, (10) D-2-aminobutyryl, (11) D-allo-isoleucyl, (12) D-allo-threonyl; (13) D-allylglycyl, (14) D-asparaginyl, (15) D-aspartyl, (16) D-benzothienyl, (17) D-3-(4,4'-biphenyl)alanyl, (18) D-chlorophenylalanyl, (19) D-3-(3-trifluoromethylphenyl)alanyl, (20) D-3-(3-cyanophenyl)alanyl, (21) D-3-(3,4-difluorophenyl)alanyl, (22) D-citrullyl, (23) D-cyclohexylalanyl, (24) D-cyclohexylglycyl, (25) D-cystyl, (26) D-cystyl(S-t-butyl), (27) D-glutaminyl, (28) D-glutamyl, (29) D-histidyl, (30) D-homoisoleucyl, (31) D-homophenylalanyl, (32) D-homoseryl, (33) D-isoleucyl, (34) D-leucyl, (35) D-lysyl(N-epsilon-nicotinyl), (36) D-lysyl, (37) D-methionyl, (38) D-neopentylglycyl, (39) D-norleucyl, (40) D-norvalyl, (41) D-ornithyl, (42) D-penicillaminyl, (43) D-penicillaminyl (acetnidomethyl), (44) D-penicillaminyl(S-benzyl), (45) D-phenylalanyl, (46) D-3-(4-aminophenyl)alanyl, (47) D-3-(4-methylphenyl)alanyl, (48) D-3-(4-nitrophenyl) alanyl, (49) D-3-(3,4-dimethoxyphenyl)alanyl, (50) D-3-(3,4,5-trifluorophenyl) alanyl, (51) D-prolyl, (52) D-seryl, (53) D-seryl(O-benzyl), (54) D-t-butylglycyl, (55) D-thienylalanyl, (56) D-threonyl, (57) D-threonyl(O-benzyl), (58) D-tryptyl, (59) D-tyrosyl(O-benzyl), (60) D-tyrosyl(O-ethyl), (61) D-tyrosyl, and (62) D-valyl; A.sub.5 is an amino acyl residue of L or D configuration selected from: (1) alanyl, (2) (3-pyridyl)alanyl, (3) 3-(naphth-1-yl)alanyl, (4) 3naphth-2-yl)alanyl,

L7: Entry 3 of 5 File: USPT Nov 14, 2000

DOCUMENT-IDENTIFIER: US 6146658 A
**** See image for Certificate of Correction ****
TITLE: Prodrugs, their preparation and use as pharmaceuticals

Brief Summary Text (43):
These compounds can be converted into a suitable pharmaceutical presentation (for example liposomes or with human proteins as carriers) and be used as pharmaceuticals.

Brief Summary Text (85):
Other drugs which are suitable as component of the prodrugs according to the invention are the Ca++ antagonists (example: nifedipine, indication: inflammatory disorders), the antihistamines (example: terfenadine, indication: allergy, asthma, inflammatory disorders), inhibitors of phosphodiesterase (example: theophylline, indication: asthma, allergy, inflammatory disorders), parasympathomimetics (example: muscarine, indication: autoimmune diseases) and sympathomimetics (examples: terbutaline, fenoterol, sulbutamol, orciprenaline, isoprenaline, indication: asthma). A class of substances which is particularly suitable as drugs for the prodrugs according to the invention is represented by the synthetic urokinase inhibitors (such as, for example, p-nitrophenyl guanidinobenzoate, amiloride etc.), which might preferably be used in future in prodrug form for the treatment of inflammatory disorders.

Detailed Description Text (195):
14-O-[4-(Beta-D-glucuronyloxy)-3-nitrobenzylaminocarbonyl]doxorubicin (prodrug) was enclosed in stealth liposomes as described by D. Papahadjopoulos et al. (PNAS, USA 88:11460-11464, 1991). After i.v. injection into CD1 nu/nu mice, the plasma half-life of the prodrug enclosed in liposomes was .apprxeq.40 hours which is distinctly longer than the plasma half-life of the free prodrug (.apprxeq.20 min) (data not shown). This significant increase in t1/2.beta. led to an improved pharmacological efficacy. A less distinct increase in the plasma half-life was achieved by preincubation of the prodrug with 50 g/l human serum albumin or human acid alpha-1 glycoprotein.

Current US Original Classification (1):
424/450

Other Reference Publication (4):
"Sterically stabilized liposomes: Improvements in pharmacokinetics and antitumor therapeutic efficacy", Papahadiopoulos, et al., Proc. Natl. Acad. Sci. USA, 88:11460-11464 (1991).

CLAIMS:

2. The method as claimed in claim 1, wherein the compound is present in a liposome or bound to a carrier protein.

(5) allo-threonyl, (6) allylglycyl, (7) glutaminyl, (8) glycyl, (9) histidyl, (10) homoseryl, (11) isoleucyl, (12) lysyl(N-epsilon-acetyl), (13) methionyl, (14) norvalyl, (15) octylglycyl, (16) omithyl, (17) 3-(4-hydromethylphenyl)alanyl, (18) prolyl, (19) seryl, (20) threonyl, (21) tryptyl, (22) tyrosyl, (23) D-allo-threonyl, (24) D-homoseryl, (25) D-seryl, (26) D-threonyl, (27) penicillaminyl, and (28) cystyl; A.sub.6 is an amino acyl residue of L or D configuration selected from: (1) alanyl, (2) 3-(naphth-1-yl)alanyl, (3) 3-(naphth-2-yl)alanyl, (4) (3-pyridyl)alanyl, (5) 2-aminobutyryl, (6) allylglycyl, (7) arginyl, (8) asparaginyl, (9) aspartyl, (10) citrullyl, (11) cyclohexylalanyl, (12) glutaminyl, (13) glutamyl, (14) glycyl, (15) histidyl, (16) homoalanyl, (17) homoleucyl, (18) homoseryl, (19) isoleucyl, (20) leucyl, (21) lysyl(N-epsilon-acetyl), (22) lysyl(N-epsilon-isopropyl), (23) methionyl(sulfone), (24) methionyl(sulfoxide), (25) methionyl, (26) norleucyl, (27) norvalyl, (28) octylglycyl, (29) phenylalanyl, (30) 3-(4-carboxyamidephenyl)alanyl, (31) propargylglycyl, (32) seryl, (33) threonyl, (34) tryptyl, (35) tyrosyl, (36) valyl, (37) D-3-(naphth-1-yl)alanyl, (38) D-3-(naphth-2-yl)alanyl, (39) D-glutaminyl, (40) D-homoseryl, (41) D-leucyl, (42) D-norvalyl, (43) D-seryl, (44) penicillaminyl, and (45) cystyl; A.sub.7 is an amino acyl residue of L or D configuration selected from: (1) alanyl, (2) allylglycyl, (3) aspartyl, (4) citrullyl, (5) cyclohexylglycyl, (6) glutamyl, (7) glycyl, (8) homoseryl, (9) isoleucyl, (10) allo-isoleucyl, (11) leucyl, (12) lysyl(N-epsilon-acetyl), (13) methionyl, (14) 3-(naphth-1-yl)alanyl, (15) 3-(naphth-2-yl)alanyl, (16) norvalyl, (17) phenylalanyl, (18) prolyl, (19) seryl, (20) t-butylglycyl, (21) tryptyl, (22) tyrosyl, (23) valyl, (24) D-allo-isoleucyl, (25) D-isoleucyl, (26) penicillaminyl, and (27) cystyl; A.sub.8 is an amino acyl residue selected from: (1) 2-amino4-[(2-amino)-pyrimidinyl]butanoyl, (2) alanyl(3-guanidino), (3) alanyl [3-pyrrolidinyl(2-N-amidino)], (4) alanyl[4-piperidinyl(N-amidino)], (5) arginyl, (6) arginyl(N.sup.G N.sup.G' diethyl), (7) citrullyl, (8) 3-(cyclohexyl)alanyl(4N'-isopropyl), (9) glycyl[4-piperidinyl(N-amidino)], (10) histidyl, (11) homoarginyl, (12) lysyl, (13) lysyl(N-epsilon-isopropyl), (14) lysyl(N-epsilon-nicotinyl), (15) norarginyl, (16) ornithyl(N-delta-isopropyl), (17) ornithyl(N-delta-nicotinyl), (18) ornithyl[N-delta-(2-imidazolinyl)], (19) [4-amino(N-isopropyl)methyl)phenyl] alanyl,

Brief Summary Text (10):
(20) 3-(4-guanidinophenyl)alanyl, and (21) 3-(4-amino-N-isopropylphenyl)alanyl; A.sub.9 is an amino acyl residue of L or D configuration selected from: (1) 2-amino-butyryl, (2) 2-amino-isobutyryl, (3) homoprolyl, (4) hydroxyprolyl, (5) isoleucyl, (6) leucyl, (7) phenylalanyl, (8) prolyl, (9) seryl, (10) t-butylglycyl, (11) 1,2,3,4-tetrahydroisoquinoline-3carbonyl, (12) threonyl, (13) valyl, (14) D-alanyl, and (15) D-prolyl; and A.sub.10 is a hydroxyl group or an amino acid amide is selected from: (1) azaglycylamide, (2) D-alanylamide, (3) D-alanylethylamide, (4) glycylamide, (5) glycylethylamide, (6) sarcosylamide, (7) serylamide, (8) D-serylamide, (9) a group represented by the formula ##STR1## and (9) a group represented by the formula --NH--R.sup.4 ; wherein: s is an integer selected from 0 to 8, R.sup.2 is selected from hydrogen, alkyl, and a 5- to 6-membered cycloalkyl ring; R.sup.3 is selected from hydrogen, hydroxy, alkyl, phenyl, alkoxy, and a 5- to 6-membered ring optionally containing from one to two heteroatoms selected from oxygen, nitrogen, and sulfur, provided that s is not zero when R.sup.3 is hydroxy or alkoxy; and R.sup.4 is selected from hydrogen, hydroxy, and a 5- to 6-membered cycloalkyl ring.

Brief Summary Text (52):
Compounds contemplated as failing within the scope of the present invention include, but are not limited to: N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, pyroGlu-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 (CH.sub.3).sub.2, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.2 -(1-pyrrolidine), N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHethylpiperidine, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHmethylcyclopropyl, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNH(ethyl-1-(R)-

cyclohexyl), N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNH.sub.2, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.2 OCH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.2 cyclohexyl, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 (CH.sub.3).sub.2, N-Ac-Sar-Gly-Val-D-alloIle-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-Gly-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Val-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ala-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Met-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Nle-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Phe-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Tyr-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-4,4'-Biphenylala-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Cha-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Chg-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-4-ClPhe-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Hphe-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-Dehydroleu-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-3-CF.sub.3 Phe-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-pentaFPhe-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-3,4-diClPhe-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-3-ClPhe-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-2-Thienylala-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-3-CNPhe-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-DNva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Cha-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Gly-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Ala-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Val-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Abu-Ile-Arg-ProNHCH.sub.2 CH.sub.3 N-Ac-Sar-Gly-Val-D-Ile-Thr-Allylgly-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Octylgly-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Met-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Cyclohexylacetyl-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-(2-Me-Nicotinyl)-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Succinyl-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Nicotinyl-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Propionyl-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-(MeO)acetyl-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-(Shikimyl)-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-(2-Furoyl)-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Butyryl-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N(2-THFcarbonyl)-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N--[CH.sub.3 CONH--(CH.sub.2).sub.2 --O--(CH.sub.2).sub.2 --O--CH.sub.2 --C(O)]-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3 N[6-N-acetyl-(CH.sub.2)5C(O)]-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH. sub.2 CH.sub.3, N-Hexanoyl-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-[4-N-Acetylaminobutyryl]-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, H-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Asn-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N--[CH.sub.3 C(O)NH--(CH.sub.2).sub.2 --O--(CH.sub.2).sub.2 --O--CH.sub.2 --C(O)]-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Pro-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Gly-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Ala-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-NEtGly-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Il-Thr-Leu-Il-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Ser-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Pro-D-AlaNH.sub.2 N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-D-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-AbuNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Phe-NHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Tic-NHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Hyp-NHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Aib-NHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-D-Ala-NHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Pip-NHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Tyr(Et)-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Cys

(tBu)-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Cys-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Tyr(Bzl)-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ser(Bzl)-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-1Nal-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-tButylgly-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Orn-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Thr(Bzl)-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-2Nal-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Phe(4-Me)-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Phe(3,4-diMeO)-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Phe(3,4,5-triF)-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-(4-NO.sub.2)Phe-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Pen-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Pen(Acm)-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Abu-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Phe(4-NH.sub.2)-Thr-N-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Ala-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Met-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Phe-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Tyr-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Nva-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Asp-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Gly-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Lys(Ac)-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Leu-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-2Nal-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-1Nal-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Allylgly-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Cit-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Leu-Ala-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Leu-Pro-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Leu-Trp-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Leu-Tyr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Leu-Nva-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Leu-Gly-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Leu-Lys(Ac)-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Leu-2Nal-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Leu-1Nal-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Leu-Octylgly-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Leu-Gln-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Leu-Met-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Leu-Ser-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Leu-Allylgly-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Leu-Ile-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Leu-D-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Ile-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nle-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Cit-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Met(O.sub.2)-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Arg-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Tyr-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Glu-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Lys(Ac)-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Propargylgly-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-alloIle-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Leu-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Bala-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Phenylacetyl-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Pro-Azagly-NH.sub.2, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Sar-NHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Pro-SerNH.sub.2, N-Succinyl-Sar-Gly-Val-D-Leu-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Ala-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Leu-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Phe-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Glu-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Pro-Val-D-Leu-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Asn-Val-D-Leu-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Asn-Val-D-Leu-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Asn-Gly-Val-D-Leu-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Gln-Gly-Val-D-Leu-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Ser-Gly-Val-D-Leu-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Cit-Gly-Val-D-Leu-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Glu-

Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Gaba-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Bala-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Gln-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Gly-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Glu-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-ProNHCH.sub.2 (CH.sub.3).sub.2, N-Succinyl-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Succinyl-Sar-Gly-Val-D-Leu-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Succinyl-Sar-Gly-Val-D-Leu-Thr-Gln-Ile-Arg-ProNHCH.sub.2 (CH.sub.3).sub.2, N-Ac-Sar-Gly-Val-D-Leu-Thr-Asp-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Asp-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Asn-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Met(O)-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Leu-Thr-Asn-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Thr-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ser-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Hser-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Gln-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Asn-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Cit-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Hcit-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Hle-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Neopentylgly-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Phe(4-CONH.sub.2)-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-His-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Lys(Isp)-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Lys(Nic)-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Orn(Nic)-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Ile-Thr-Nva-Ile-Orn(Isp)-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Phe(4-NIsp)-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Cha(4-NIsp) ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Harg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Norarg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Cit-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Lys-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Phe(4-CH.sub.2 OH)-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Phe(4-guanidino)-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Aminopyrimidinylbutanoyl-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Phe(4-CH.sub.2 NHlsp)-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Gly[4-Pip(N-amidino)]-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Ala[4Pip(N-amidino)]-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Ala-(3-guanidino)-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Ala(3-pyrrolidinylamidino)-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Orn(2-imidazo)-ProNHCH.sub.2 CH.sub.3, N-Succinyl-Sar-Gly-Val-D-alloIle-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Succinyl-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Succinyl-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-Pro-D-AlaNH.sub.2, N-Succinyl-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Succinyl-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-Pro-D-AlaNH.sub.2, N-Succinyl-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-ProNHCH.sub.2 (CH.sub.3).sub.2, N-Succinyl-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-ProNHCH.sub.2 (CH.sub.3).sub.2, N-Ac-Sar-Gly-Val-D-alloIle-Thr-Nva-Ile-Arg-Pro-D-AlaNH.sub.2, N-Ac-Sar-Gly-Val-D-alloIle-Thr-Nva-Ile-Arg-ProNHCH.sub.2 (CH.sub.3).sub.2, N-Ac-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-Pro-D-AlaNH.sub.2, N-Ac-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-ProNHCH.sub.2 (CH.sub.3).sub.2, N-Ac-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-Pro-D-AlaNH.sub.2, N-Ac-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-ProNHCH.sub.2 (CH.sub.3).sub.2, N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Pro-SarNH.sub.2, N-Ac-Sar-Gly-Val-D-alloIle-Thr-Nva-Ile-Arg-Pro-SarNH.sub.2, N-Ac-Sar-Gly-Val-Ile-Thr-Gln-Ile-Arg-Pro-SarNH.sub.2, N-Ac-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-Pro-SarNH.sub.2, N-Ac-Sar-Gly-Val-D-alloIle-Thr-Ser-Ile-Arg-Pro-D-AlaNH.sub.2, N-Ac-Sar-Gly-Val-D-alloIle-Thr-Ser-Ile-Arg-ProNHCH.sub.2 (CH.sub.3), N-Ac-Sar-Gly-Val-D-alloIle-Thr-Ser-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Orn(Ac)-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-Ac-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-Pro-AzaglyNH.sub.2, N-Ac-Sar-Gly-Val-D-alloIle-Thr-Nva-Ile-Arg-Pro-AzaglyNH.sub.2, N-Ac-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-Pro-AzaglyNH.sub.2, N-(2-THFcarbonyl)-Sar-Gly-Val-D-alloIle-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-(2-THFcarbonyl)-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-

Arg-ProNHCH.sub.2 CH.sub.3, N-(2-THFcarbonyl)-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, N-(2-THFcarbonyl)-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-Pro-D-AlaNH.sub.2, N-(2-THFcarbonyl)-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-Pro-D-AlaNH.sub.2, N-(2-THFcarbonyl)-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-ProNHCH.sub.2 (CH.sub.3), N-(6-Ac-Aca)-Sar-Gly-Val-D-alloIle-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3,

Brief Summary Text (68):
A sustained-release matrix, as used herein, is a matrix made of materials, usually polymers, which are degradable by enzymatic or acid-base hydrolysis or by dissolution. Once inserted into the body, the matrix is acted upon by enzymes and body fluids. A sustained-release matrix desirably is chosen from biocompatible materials such as liposomes, polylactides (polylactic acid), polyglycolide (polymer of glycolic acid), polylactide co-glycolide (copolymers of lactic acid and glycolic acid) polyanhydrides, poly(ortho)esters, polypeptides, hyaluronic acid, collagen, chondroitin sulfate, carboxylic acids, fatty acids, phospholipids, polysaccharides, nucleic acids, polyamino acids, amino acids such as phenylalanine, tyrosine, isoleucine, polynucleotides, polyvinyl propylene, polyvinylpyrrolidone and silicone. A preferred biodegradable matrix is a matrix of one of either polylactide, polyglycolide, or polylactide co-glycolide (co-polymers of lactic acid and glycolic acid).

Brief Summary Paragraph Table (1):
TABLE 1 Abbreviation Definition Abu 2-aminobutyric acid 6-NAc-caproyl, 6-N-Ac-(CH.sub.2).sub.5 C(O)--, or 6-Ac-Aca 6-N-acetyl-aminocaproic acid Aib 2-aminoisobutyric acid Ala(3-guanidino) alanine(3-guanidino) Ala(3-pyrrolidinylamidino) alanine[3-pyrrolidinyl(2-N-amidino)] Ala[4-Pip(N-amidino)] alanine[4-piperidinyl(N-amidino)] Allylgly 2-(allyl)glycine AM aminomethyl Aminopyrimidinobutanoyl 2-amino-4- [(2-amino)pyrimidinyl]butanoic acid Azagly azaglycine 3-Ac-Bala 3-N-acetyl-beta-alanine Bala beta-alanine Cha 3-(cyclohexyl) alanine Cha(4-NIsp) 3-(cyclohexyl)alanine(4-N'-isopropyl) Cit citrulline 2ClTrt 2-chloro-trityl Cys(tBu) cysteine(S-t-butyl) D-2-Thienylala D-3-(2-thienyl)alanine D-3,3-Diphenylala D-3,3-(diphenyl)alanine D-3,4-diClPhe D-3-(3,4-dichlorophenyl) alanine D-3,4-diFPhe D-3-(3,4-difluorophenyl)alanine D-3-Benzothienylala D-3-(3-benzothienyl)alanine D-3-CF.sub.3 Phe D-3-(3-trifluoromethylphenyl)alanine D-3-ClPhe D-3-(3-chlorophenyl)alanine D-3-CNPhe D-3-(3-cyanophenyl)alanine D-3-Pal D-(3-pyridyl)alanine D-4,4'-Biphenylala D-3-(4,4'-biphenyl)alanine D-4-ClPhe D-3-(4-chloro-phenyl)alanine D-Cha D-3-(cyclohexyl)alanine D-Chg D-cyclohexylglycine Dehydroleu dehydroleucine D-Hphe D-homophenylalanine D-Ile D-isoleucine D-alloIle D-allo-isoleucine D-Lys(Nic) D-lysine(N-epsilon-nicotinyl) D-Leu D-leucine D-pentaFPhe D-3-(pentafluorophenyl)alanine D-Val D-valine 4-Ac-Gaba 4-N-acetyl-gamma-aminobutyric acid or 4-N-acetyl-4-aminobutyric acid Gaba gamma-aminobutyric acid or 4-aminobutyric acid Gly[4-Pip(N-amidino)] glycine[4-piperidinyl(N-amidino)] Harg homoarginine Hle homoleucine Hser homoserine Hyp 4-hydroxyproline Isp isopropyl Lys(Ac) lysine(N-epsilon-acetyl) Lys(Isp) lysine(N-epsilon-isopropyl) Lys(Nic) lysine(N-epsilon-nicotinyl) Met(O) methionine sulfoxide Met(O.sub.2) methionine sulfone MeOAc or (MeO)acetyl methoxyacetyl 1Nal 3-(naphth-1-yl)alanine 2Nal 3-(naphth-2-yl) alanine N-Ac-Sar N-acetylsarcosine Neopentylgly neopentylglycine NEtGly N-ethylglycine Norarg norarginine Octylgly 2-(octyl)glycine Orn(Ac) ornithine(N-delta-acetyl) Orn(2-imidazo) ornithine[N-delta-(2-imidazolinyl)] Orn(Isp) ornithine(N-delta-isopropyl) Orn(Nic) ornithine(N-delta-nicotinyl) O-TBDMS O-t-butyldimethylsilyl Pen penicillamine or .beta.,.beta.-dimethylcysteine Pen(Acm) penicillamine(acetamidomethyl) D-Phe(3,4,5-triF) D-3-(3,4,5-trifluorophenyl)alanine D-Phe(3,4-diMeO) D-3-(3,4-dimethoxyphenyl)alanine Phe(4-CH.sub.2 OH) 3-(4-hydroxymethylphenyl)alanine Phe(4-CONH.sub.2) 3-(4-carboxyamidephenyl)alanine Phe(4-guanidino) 3-(4-guanidinophenyl)alanine D-Phe(4-Me) D-3-(4-methylphenyl)alanine D-Phe(4-NH.sub.2) D-3-(4-aminophenyl)alanine Phe(4-NIsp) 3-(4-amino-N-isopropylphenyl)alanine Phe(4-CH.sub.2 NHIsp) [(4-amino(N- isopropyl)methyl)phenyl] alanine D-Phe(4-NO.sub.2) D-3-(4-nitrophenyl)alanine Propargylgly propargylglycine Pip pipecolic acid or homoproline pyBrop bromo-tris-

pyrrolidinophosphoniumhexafluorophosphate Ser(Bzl) serine(O-benzyl) tButylgly t-butylglyine Thr(Bzl) threonine(O-benzyl) Tic 1,2,3,4-tetrahydroisoquinoline- 3-carboxylic acid Trt trityl Tyr(Bzl) tyrosine(O-benzyl) Tyr(Et) tyrosine(O-ethyl) THF tetrahydrofuryl or tetrahydrofuran 2-THFcarbonyl (tetrahydro-2-furyl)carbonyl

Detailed Description Text (589):
N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Phe(4 guanidino)ProNHCH.sub.2 CH.sub.3

Detailed Description Text (590):
The procedure described in Example 1 was used but substituting Fmoc-Phe(4-bis-Boc-guanidino) for Fmoc-Arg(Pmc). After cleavage of the peptide from the resin and removal of the protecting groups using (9:1) TFA/anisole (3 mL) the crude product was purified by C-18 column chromatography using a solvent mixture varying in a gradient of 10% to S50% acetonitrile-water containing 0.01% TFA. The pure fractions are lyophilized to yield N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Phe(4-guanidino)-ProNHCH.sub.2 CH.sub.3 as the trifluoroacetate salt: R.sub.t =3.423 min (gradient of 10% to 30% acetonitrile in water containing 0.01% TFA over 30 min period); MS (ESI) m/e 1042 (M+H).sup.+.

Detailed Description Text (598):
N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Gly[4-Pip(N-amidino)]-ProNHCH.sub.2 CH.sub.3

Detailed Description Text (599):
The procedure described in Example 1 is used but substituting Fmoc-Gly4-piperidinyl [N-amidino(BOC).sub.2] for Fmoc-Arg(Pmc). After cleavage of the peptide from the resin and removal of the protecting groups using (9:1) TFA/anisole (3 mL) the crude product is purified by C-18 column chromatography using a solvent mixture varying in a gradient of 10% to 50% acetonitrile-water containing 0.01% TFA. The pure fractions are lyophilized to yield N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Gly (4Pip-amidino)-ProNHCH.sub.2 CH.sub.3 as the trifluoroacetate salt.

Detailed Description Text (601):
N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Ala[4-Pip(N-amidino)]-ProNHCH.sub.2 CH.sub.3

Detailed Description Text (602):
The procedure described in Example 1 is used but substituting Fmoc-Ala-[4-piperidinyl-(N',N"-bis-Boc-amidino)] for Fmoc-Arg(Pmc). After cleavage of the peptide from the resin and removal of the protecting groups using (9:1) TFA/anisole (3 mL) the crude product is purified by C-18 column chromatography using a solvent mixture varying in a gradient of 10% to 50% acetonitrile-water containing 0.01% TFA. The pure fractions are lyophilized to yield N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Ala[4-Pip(N-amidino)]-Pro-NHCH.sub.2 CH.sub.3 as the trifluoroacetate salt.

Detailed Description Text (604):
N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Ala(3-guanidino)ProNHCH.sub.2 CH.sub.3

Detailed Description Text (605):
The procedure described in Example 1 is used but substituting Fmoc-Ala-[3bis-Boc)-guanidino] for Fmoc-Arg(Pmc). After cleavage of the peptide from the resin and removal of the protecting groups using (9:1) TFA/anisole (3 mL) the crude product is purified by C-18 column chromatography using a solvent mixture varying in a gradient of 10% to 50% acetonitrile-water containing 0.01% TFA. The pure fractions are lyophilized to yield N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Ala(3-guanidino)-ProNHCH.sub.2 CH.sub.3 as the trifluoroacetate salt.

Detailed Description Text (607):
N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Ala(3-pyrrolidinylamidino)-ProNHCH. sub.2 CH.sub.3

Detailed Description Text (608):

The procedure described in Example 1 is used but substituting Fmoc-Ala[3-pyrrolidinyl-(2-N,N'-bis-Boc-amidino)] for Fmoc-Arg(Pmc). After cleavage of the peptide from the resin and removal of the protecting groups using (9:1) TFA/anisole (3 mL) the crude product is purified by C-18 column chromatography using a solvent mixture varying in a gradient of 10% to 50% acetonitrile-water containing 0.01% TFA. The pure fractions are lyophilized to yield N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Ala-(3-pyrrolidinyl-amidino)-ProNHCH. sub.2 CH.sub.3 as the trifluoroacetate salt.

CLAIMS:

1. A compound of the formula:

A.sub.0 -A.sub.1 -A.sub.2 -A.sub.3 -A.sub.4 -A.sub.5 -A.sub.6 -A.sub.7 -A.sub.8 -A.sub.9 -A.sub.10

or a pharmaceutically acceptable salt, ester, solvate or prodrug thereof, wherein: A.sub.0 is an acyl group selected from: (1) R--(CH.sub.2).sub.n --C(O)--; wherein n is an integer from 0 to 8 and R is selected from hydroxyl; methyl; N-acetylamino; methoxyl; carboxyl; cyclohexyl optionally containing one or two double bonds and optionally substituted with one to three hydroxyl groups; and a 5- or 6-membered aromatic or nonaromatic ring optionally containing one or two heteroatoms selected from nitrogen, oxygen, and sulfur, wherein the ring is optionally substituted with a moiety selected from alkyl, alkoxy, and halogen; and (2) R.sup.1 --CH.sub.2 CH.sub.2 --OCH.sub.2 CH.sub.2 O).sub.p --CH.sub.2 --C(O)--; wherein R.sup.1 is selected from hydrogen, alkyl, and N-acetylamino, and p is an integer from 1 to 8; A.sub.1 is an amino acyl residue selected from: (1) alanyl, (2) asparaginyl, (3) citrullyl, (4) glutaminyl, (5) glutamyl, (6) N-ethylglycyl, (7) methionyl, (8) N-methylalanyl, (9) prolyl, (10) pyro-glutamyl, (11) sarcosyl, (12) seryl, (13) threonyl, (14) --HN--(CH.sub.2).sub.q --C(O)--, wherein q is 1 to 8, and (15) --HN--CH.sub.2 CH.sub.2 --(OCH.sub.2 CH.sub.2 O).sub.r --CH.sub.2 --C(O)--, wherein r is 1 to 8; A.sub.2 is an amino acyl residue selected from: (1) alanyl, (2) asparaginyl, (3) aspartyl, (4) glutaminyl, (5) glutamyl, (6) leucyl, (7) methionyl, (8) phenylalanyl, (9) prolyl, (10) seryl, (11) --HN--(CH.sub.2).sub.q --C(O)--, wherein q is 1 to 8, (12) --HN--CH.sub.2 CH.sub.2 --(OCH.sub.2 CH.sub.2 O).sub.r --CH.sub.2 --C(O)--, wherein r is 1 to 8 and (13) glycyl; A.sub.3 is an amino acyl residue selected from: (1) alanyl, (2) asparaginyl, (3) citrullyl, (4) cyclohexylalanyl, (5) cyclohexylglycyl, (6) glutaminyl, (7) glutamyl, (8) glycyl, (9) isoleucyl, (10) leucyl, (11) methionyl, (12) norvalyl, (13) phenylalanyl, (14) seryl, (15) t-butylglycyl, (16) threonyl, (17) valyl, (18) penicillaminyl, and (19) cystyl; A.sub.4 is an amino acyl residue selected from: (1) L- or D-allo-isoleucyl, (2) glycyl, (3) L- or D-isoleucyl, (4) L- or D-prolyl, (5) L- or D-dehydroleucyl, (6) D-alanyl, (7) D-3-(naphth-1-yl)alanyl, (8) D-3-(naphth-2-yl)alanyl, (9) D-(3-pyridyl)-alanyl, (10) D-2-aminobutyryl, (11) D-allo-threonyl, (12) D-allylglycyl, (13) D-asparaginyl, (14) D-aspartyl, (15) D-3-(3-benzothienyl)alanyl (16) D-3-(4,4'-biphenyl)alanyl, (17) D-3-(3-chlorophenyl)alanyl, (18) D-3-(4-chlorophenyl) alanyl, (19) D-3-(3-trifluoromethylphenyl)alanyl, (20) D-3-(3-cyanophenyl)alanyl, (21) D-3-(3,4-difluorophenyl)alanyl, (22) D-citrullyl, (23) D-cyclohexylalanyl, (24) D-cyclohexylglycyl, (25) D-cystyl, (26) D-cystyl(S-t-butyl), (27) D-glutaminyl, (28) D-glutamyl, (29) D-histidyl, (30) D-homoisoleucyl, (31) D-homophenylalanyl, (32) D-homoseryl, (33) D-leucyl, (34) D-lysyl(N-epsilon-nicotinyl), (35) D-lysyl, (36) D-methionyl, (37) D-neopentylglycyl, (38) D-norleucyl, (39) D-norvalyl, (40) D-ornithyl, (41) D-penicillaminyl, (42) D-penicillaminyl(acetamidomethyl), (43) D-penicillaminyl(S-benzyl), (44) D-phenylalanyl, (45) D-3-(4-aminophenyl)alanyl, (46) D-3-(4-methylphenyl)alanyl, (47) D-3-(4-nitrophenyl)alanyl, (48) D-3-(3,4dimethoxyphenyl)alanyl, (49) D-3-(3,4,5-trifluorophenyl)alanyl, (50) D-seryl, (51) D-seryl(O-benzyl), (52) D-t-butylglycyl, (53) D-thienylalanyl, (54) D-threonyl, (55) D-threonyl(O-benzyl), (56) D-tryptyl, (57) D-tyrosyl(O-benzyl), (58) D-tyrosyl(O-ethyl), (59) D-tyrosyl, and (60) D-valyl; A.sub.5 is a glycyl residue or an amino acyl residue of L or D configuration selected from: (1) alanyl, (2) (3-pyridyl)alanyl, (3) 3-(naphth-1-yl)alanyl, (4) 3-

(naphth-2-yl)alanyl, (5) allo-threonyl, (6) allylglycyl, (7) glutaminyl, (8) histidyl, (9) homoseryl, (10) isoleucyl, (11) lysyl(N-epsilon-acetyl), (12) methionyl, (13) norvalyl, (14) octylglycyl, (15) ornmithyl, (16) 3-(4-hydroxymethylphenyl)alanyl, (17) prolyl, (18) seryl, (19) threonyl (20) tryptyl, (21) tyrosyl, (22) D-allo-threonyl, (23) D-homoseryl, (24) D-seryl, (25) D-threonyl (26) penicillaminyl, and (27) cystyl; A.sub.6 is a glycyl residue or an amino acyl residue of L or D configuration selected from: (1) alanyl, (2) 3-(naphth-1-yl) alanyl, (3) 3-(naphth-2-yl)alanyl, (4) 3-pyridyl)alanyl, (5) 2-aminobutyryl, (6) allylglycyl, (7) arginyl, (8) asparaginyl, (9) aspartyl, (10) citrullyl, (11) 3-(cyclohexyl)alanyl, (12) glutaminyl (13) glutamyl, (14) histidyl, (15) homoalanyl, (16) homoleucyl, (17) homoseryl, (18) isoleucyl, (19) leucyl, (20) lysyl(N-epsilon-acetyl), (21) lysyl(N-epsilon-isopropyl), (22) methionyl(sulfone), (23) methionyl (sulfoxide), (24) methionyl, (25) norleucyl, (26) norvalyl, (27) octylglycyl, (28) phenylalanyl, (29) 3-(4-carboxyamidephenyl)alanyl, (30) propargylglycyl, (31) seryl, (32) threonyl, (33) tryptyl, (34) tyrosyl, (35) valyl, (36) D-3-(naphth-1-yl)alanyl, (37) D-3-(naphth-2-yl)alanyl, (38) D-glutaminyl, (39) D-homoseryl, (40) D-leucyl, (41) D-norvalyl, (42) D-seryl), (43) penicillaminyl, and (44) cystyl; A.sub.7 is a glycyl residue or an amino acyl residue of L or D configuration selected from: (1) alanyl, (2) allylglycyl, (3) aspartyl, (4) citrullyl, (5) cyclohexylglycyl, (6) glutamyl, (9) homoseryl, (10) isoleucyl, (11) allo-isoleucyl, (12) leucyl, (13) lysyl(N-epsilon-acetyl), (14) methionyl, (15) 3-(naphth-1-yl) alanyl, (16) 3-(naphth-2-yl)alanyl, (17) norvalyl, (18) phenylalanyl, (19) prolyl, (20) seryl (21) t-butylglycyl, (22) tryptyl, (23) tyrosyl, (24) valyl, (25) D-allo-isoleucyl, (26) D-isoleucyl, (27) penicillaminyl, and (28) cystyl; A.sub.8 is an amino acyl residue selected from: (1) 2-amino-4-[(2-amino)pyrimidinyl]butanoyl, (2) alanyl(3-guanidino), (3) alanyl(3-pyrrolidinylamidino), (4) alanyl[4-piperidinyl(N-amidino)], (5) arginyl, (6) arginyl(N.sup.G N.sup.G' diethyl), (7) citrully, (8) 3-(cyclohexyl)alanyl(4-N'-isopropyl), (8) glycyl[4-piperidinyl(N-amidino)], (10) histidyl, (11) homoarginyl, (12) lysyl, (13) lysyl(N-epsilon-isopropyl), (14) lysyl (N-epsilon-nicotinyl), (15) norarginyl, (16) ornithyl(N-delta-isopropyl), (17) ornithyl(N-delta-nicotinyl), (18) ornithyl[N-delta-(2-imidazolinyl)], (19) [(4-amino(N-isopropyl)methyl)phenyl]alanyl, (20) 3-(4-guanidinophenyl)alanyl, and (21) 3-(4-amino-N-isopropylphenyl)alanyl;

A.sub.9 is an amino acyl residue of L or D configuration selected from: (1) 2-amino-butyryl, (2) 2-amino-isobutyryl, (3) homoprolyl, (4) 4-hydroxyprolyl, (5) isoleucyl, (6) leucyl, (7) phenylalanyl, (8) prolyl, (9) seryl, (10) t-butylglycyl, (11) 1,2,3,4-tetrahydroisoquinoline-3-carbonyl, (12) threonyl, (13) valyl, (14) D-alanyl, and (15) D-prolyl; and A.sub.10 is selected from: (1) hydroxyl, (2) azaglycylamide, (3) D-alanylamide, (4) D-alanylethylamide, (5) glycylamide, (6) glycylethylamide, (7) sarcosylamide, (8) serylamide, (9) D-serylamide, (10) a group represented by the formula ##STR3## and (11) a group represented by the formula --NH--R.sup.4 ; wherein: s is an integer selected from 0 to 8, R.sup.2 is selected from hydrogen, alkyl, and a 5- to 6-membered cycloalkyl ring; R.sup.3 is selected from hydrogen, hydroxy, alkyl, phenyl, alkoxy, and a 5- to 6-membered ring optionally containing from one to two heteroatoms selected from oxygen, nitrogen, and sulfur, provided that s is not zero when R.sup.3 is hydroxy or alkoxy; and R.sup.4 is selected from hydrogen, hydroxy, and a 5- to 6-membered cycloalkyl ring.

12. A compound, or a pharmaceutically acceptable salt, ester, solvate or prodrug thereof, selected from (1) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (2) (3) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.3, (4) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH(CH.sub.3).sub.2, (5) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.2 -(1-pyrrolidine), (6) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHethyl(1-piperidine), (7) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHmethylcyclopropyl, (8) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNH (ethyl-1-(R)-cyclohexyl), (9) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNH.sub.2, (10) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.2 OCH.sub.3, (11) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.2 cyclohexyl, (12) N-

Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.2 CH.sub.3, (13) N-Ac-Sar-Gly-Val-D-alloIle-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (14) N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (15) N-Ac-Sar-Gly-Val-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (16) N-Ac-Sar-Gly-Val-Gly-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (17) N-Ac-Sar-Gly-Val-D-Val-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (18) N-Ac-Sar-Gly-Val-D-Ala-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (19) N-Ac-Sar-Gly-Val-D-Met-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (20) N-Ac-Sar-Gly-Val-D-Nle-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (21) N-Ac-Sar-Gly-Val-D-Phe-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (22) N-Ac-Sar-Gly-Val-D-Tyr-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (23) N-Ac-Sar-Gly-Val-D-4,4'-Biphenylala-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (24) N-Ac-Sar-Gly-Val-D-Cha-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (25) N-Ac-Sar-Gly-Val-D-Chg-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (26) N-Ac-Sar-Gly-Val-D-4-ClPhe-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (27) N-Ac-Sar-Gly-Val-D-Hphe-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (28) N-Ac-Sar-Gly-Val-Dehydroleu-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (29) N-Ac-Sar-Gly-Val-D-3-CF.sub.3 Phe-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (30) N-Ac-Sar-Gly-Val-D-pentaFPhe-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (31) N-Ac-Sar-Gly-Val-D-3,4-diClPhe-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (32) N-Ac-Sar-Gly-Val-D-3-ClPhe-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (33) N-Ac-Sar-Gly-Val-D-2-Thienylala-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (34) N-Ac-Sar-Gly-Val-D-3-CNPhe-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (35) N-Ac-Sar-Gly-Val-D-Ile-Thr-DNva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (36) N-Ac-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (37) N-Ac-Sar-Gly-Val-D-Ile-Thr-Cha-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (38) N-Ac-Sar-Gly-Val-D-Ile-Thr-Gly-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (39) N-Ac-Sar-Gly-Val-D-Ile-Thr-Ala-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (40) N-Ac-Sar-Gly-Val-D-Ile-Thr-Val-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (41) N-Ac-Sar-Gly-Val-D-Ile-Thr-Abu-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (42) N-Ac-Sar-Gly-Val-D-Ile-Thr-Allylgly-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (43) N-Ac-Sar-Gly-Val-D-Ile-Thr-Octylgly-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (44) N-Ac-Sar-Gly-Val-D-Ile-Thr-Met-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (45) N-Cyclohexylacetyl-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (46) N-(2-Me-Nicotinyl)-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (47) N-Succinyl-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (48) N-Nicotinyl-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (49) N-Propionyl-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (50) N-(MeO)acetyl-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (51) N-(Shikimyl)-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (52) N-(2-Furoyl)-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (53) N-Butyryl-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (54) N-[2-THFcarbonyl]-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (55) N-[CH.sub.3 C(O)NH--(CH.sub.2).sub.2 --O--(CH.sub.2).sub.2 --O--CH.sub.2 --C(O)]-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (56) N-[6-N-acetyl-(CH.sub.2).sub.5 C(O)]-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (57) N-Hexanoyl-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (58) N-[4-N-Acetylaminobutyryl]-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (59) (60) N-Ac-Sar-Gly-Asn-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (61) N--[CH.sub.3 C(O)NH--(CH.sub.2).sub.2 --O--(CH.sub.2).sub.2 --O--CH.sub.2 --C(O)]-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (62) N-Ac-Pro-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (63) N-Ac-Gly-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (64) N-Ac-Ala-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (65) N-Ac-NEtGly-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (66) N-Ac-Sar-Gly-Val-D-Ile-Thr-Leu-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (67) N-Ac-Sar-Gly-Val-D-Ile-Thr-Ser-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (68) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Pro-D-AlaNH.sub.2, (69) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-D-ProNHCH.sub.2 CH.sub.3, (70) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-AbuNHCH.sub.2 CH.sub.3, (71) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Phe-NHCH.sub.2 CH.sub.3, (72) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Tic-NHCH.sub.2 CH.sub.3, (73) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Hyp-NHCH.sub.2 CH.sub.3, (74) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Aib-NHCH.sub.2 CH.sub.3, (75) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-D-Ala-NHCH.sub.2 CH.sub.3, (76) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Pip-NHCH.sub.2 CH.sub.3, (77) N-Ac-Sar-Gly-Val-D-Tyr(Et)-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3,

(78) N-Ac-Sar-Gly-Val-D-Cys(tBu)-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (79) N-Ac-Sar-Gly-Val-D-Cys(Acm)-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (80) N-Ac-Sar-Gly-Val-D-Tyr(Bzl)-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (81) N-Ac-Sar-Gly-Val-D-Ser(Bzl)-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (82) N-Ac-Sar-Gly-Val-D-1Nal-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (83) N-Ac-Sar-Gly-Val-D-tButylgly-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (84) N-Ac-Sar-Gly-Val-D-Orn-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (85) N-Ac-Sar-Gly-Val-D-Thr(Bzl)-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (86) N-Ac-Sar-Gly-Val-D-2Nal-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (87) N-Ac-Sar-Gly-Val-D-Phe(4-Me)-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (88) N-Ac-Sar-Gly-Val-D-Phe(3,4diMeO)-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (89) N-Ac-Sar-Gly-Val-D-Phe(3,4,5-triF)-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (90) N-Ac-Sar-Gly-Val-D-Phe(4-NO.sub.2)-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (91) N-Ac-Sar-Gly-Val-D-Pen-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (92) N-Ac-Sar-Gly-Val-D-Pen(Acm)-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (93) N-Ac-Sar-Gly-Val-D-Abu-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (94) N-Ac-Sar-Gly-Val-D-Phe(4-NH.sub.2)-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (95) N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Ala-Arg-ProNHCH.sub.2 CH.sub.3, (96) N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Met-Arg-ProNHCH.sub.2 CH.sub.3, (97) N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Phe-Arg-ProNHCH.sub.2 CH.sub.3, (98) N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Tyr-Arg-ProNHCH.sub.2 CH.sub.3, (99) N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Nva-Arg-ProNHCH.sub.2 CH.sub.3, (100) N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Asp-Arg-ProNHCH.sub.2 CH.sub.3, (101) N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Gly-Arg-ProNHCH.sub.2 CH.sub.3, (102) N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Lys(Ac)-Arg-ProNHCH.sub.2 CH.sub.3, (103) N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Leu-Arg-ProNHCH.sub.2 CH.sub.3, (104) N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-2Nal-Arg-ProNHCH.sub.2 CH.sub.3, (105) N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-1Nal-Arg-ProNHCH.sub.2 CH.sub.3, (106) N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Allylgly-Arg-ProNHCH.sub.2 CH.sub.3, (107) N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Cit-Arg-ProNHCH.sub.2 CH.sub.3, (108) N-Ac-Sar-Gly-Val-D-Leu-Ala-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (109) N-Ac-Sar-Gly-Val-D-Leu-Pro-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (110) N-Ac-Sar-Gly-Val-D-Leu-Trp-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (111) N-Ac-Sar-Gly-Val-D-Leu-Tyr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (112) N-Ac-Sar-Gly-Val-D-Leu-Nva-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (113) N-Ac-Sar-Gly-Val-D-Leu-Gly-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (114) N-Ac-Sar-Gly-Val-D-Leu-Lys(Ac)-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (115) N-Ac-Sar-Gly-Val-D-Leu-2Nal-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (116) N-Ac-Sar-Gly-Val-D-Leu-1Nal-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (117) N-Ac-Sar-Gly-Val-D-Leu-Octylgly-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (118) N-Ac-Sar-Gly-Val-D-Leu-Gln-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (119) N-Ac-Sar-Gly-Val-D-Leu-Met-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (120) N-Ac-Sar-Gly-Val-D-Leu-Ser-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (121) N-Ac-Sar-Gly-Val-D-Leu-Allylgly-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (122) N-Ac-Sar-Gly-Val-D-Leu-Ile-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (123) N-Ac-Sar-Gly-Val-D-Leu-D-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (124) N-Ac-Sar-Gly-Val-D-Ile-Thr-Ile-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (125) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nle-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (126) N-Ac-Sar-Gly-Val-D-Ile-Thr-Cit-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (127) N-Ac-Sar-Gly-Val-D-Ile-Thr-Met(O.sub.2)-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (128) N-Ac-Sar-Gly-Val-D-Ile-Thr-Arg-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (129) N-Ac-Sar-Gly-Val-D-Ile-Thr-Tyr-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (130) N-Ac-Sar-Gly-Val-D-Ile-Thr-Glu-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (131) N-Ac-Sar-Gly-Val-D-Ile-Thr-Lys(Ac)-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (132) N-Ac-Sar-Gly-Val-D-Ile-Thr-Propargylgly-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (133) N-Ac-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (134) N-Ac-Sar-Gly-Val-D-Leu-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (135) N-Ac-Bala-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (136) N-Phenylacetyl-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (137) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Pro-AzaglyNH.sub.2, (138) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Sar-NHCH.sub.2 CH.sub.3, (139) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Pro-SerNH.sub.2, (140) N-Succinyl-Sar-Gly-Val-D-Leu-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (141) N-Ac-Sar-Ala-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (142) N-Ac-Sar-Leu-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (143) N-Ac-Sar-Phe-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (144) N-Ac-Sar-Glu-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (145) N-Ac-Sar-Pro-Val-D-Leu-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (146) N-Ac-Sar-Asn-Val-D-

Leu-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (147) N-Ac-Sar-Asp-Val-D-Leu-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (148) N-Ac-Asn-Gly-Val-D-Leu-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (149) N-Ac-Gln-Gly-Val-D-Leu-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (150) N-Ac-Ser-Gly-Val-D-Leu-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (151) N-Ac-Cit-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (152) N-Ac-Glu-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (153) N-Ac-Gaba-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (154) N-Ac-Bala-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (155) N-Ac-Gln-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (156) N-Ac-Sar-Gly-Gly-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (157) N-Ac-Sar-Gly-Glu-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (158) N-Ac-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-ProNHCH(CH.sub.3).sub.2, (159) N-Succinyl-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (160) N-Succinyl-Sar-Gly-Val-D-Leu-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (161) N-Succinyl-Sar-Gly-Val-D-Leu-Thr-Gln-Ile-Arg-ProNHCH(CH.sub.3).sub.2, (162) N-Ac-Sar-Gly-Val-D-Leu-Thr-Asp-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (163) N-Ac-Sar-Gly-Val-D-Ile-Thr-Asp-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (164) N-Ac-Sar-Gly-Val-D-Ile-Thr-Asn-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (165) N-Ac-Sar-Gly-Val-D-Ile-Thr-Met(O)-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (166) N-Ac-Sar-Gly-Val-D-Leu-Thr-Asn-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (167) N-Ac-Sar-Gly-Val-D-Thr-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (168) N-Ac-Sar-Gly-Val-D-Ser-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (169) N-Ac-Sar-Gly-Val-D-Hser-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (170) N-Ac-Sar-Gly-Val-D-Gln-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (171) N-Ac-Sar-Gly-Val-D-Asn-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (172) N-Ac-Sar-Gly-Val-D-Cit-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (173) N-Ac-Sar-Gly-Val-D-Hcit-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (174) N-Ac-Sar-Gly-Val-D-Hle-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (175) N-Ac-Sar-Gly-Val-D-Neopentylgly-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (176) N-Ac-Sar-Gly-Val-D-Ile-Thr-Phe(4-CONH.sub.2)-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (177) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-His-ProNHCH.sub.2 CH.sub.3, (178) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Lys(Isp)-ProNHCH.sub.2 CH.sub.3, (179) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Lys(Nic)-ProNHCH.sub.2 CH.sub.3, (180) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Orn(Nic)-ProNHCH.sub.2 CH.sub.3, (181) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Orn(Isp)-ProNHCH.sub.2 CH.sub.3, (182) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Phe(4-NIsp)-ProNHCH.sub.2 CH.sub.3, (183) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Cha(4-NIsp)-ProNHCH.sub.2 CH.sub.3, (184) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Harg-ProNHCH.sub.2 CH.sub.3, (185) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Norarg-ProNHCH.sub.2 CH.sub.3, (186) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Cit-ProNHCH.sub.2 CH.sub.3, (187) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Lys-ProNHCH.sub.2 CH.sub.3, (188) N-Ac-Sar-Gly-Val-D-Ile-Phe(4-CH.sub.2 OH)-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (189) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Phe(4quanidino)-ProNHCH.sub.2 CH.sub.3, (190) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Aminopyrimidinylbutanoyl-Pro-NHCH.sub.2 CH.sub.3, (191) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Phe(4-CH.sub.2 NHIsp)-ProNHCH.sub.2 CH.sub.3, (192) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Gly[4-Pip(N-amidino)]-Pro-NHCH.sub.2 CH.sub.3, (193) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Ala[4-Pip(N-amidino)]-Pro-NHCH.sub.2 CH.sub.3, (194) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Ala(3-guanidino)-ProNHCH.sub.2 CH.sub.3, (195) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Ala(3-pyrrolidinylamidino)-Pro-NHCH. sub.2 CH.sub.3, (196) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Orn(2-imidazo)-ProNHCH.sub.2 CH.sub.3, (197) N-Succinyl-Sar-Gly-Val-D-alloIle-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (198) N-Succinyl-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (199) N-Succinyl-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-Pro-D-AlaNH.sub.2, (200) N-Succinyl-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (201) N-Succinyl-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-Pro-D-AlaNH.sub.2, (202) N-Succinyl-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (203) N-Succinyl-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (204) N-Ac-Sar-Gly-Val-D-alloIle-Thr-Nva-Ile-Arg-Pro-D-AlaNH.sub.2,

(205) N-Ac-Sar-Gly-Val-D-alloIle-Thr-Nva-Ile-Arg-ProNHCH(CH.sub.3).sub.2, (206) N-Ac-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-Pro-D-AlaNH.sub.2, (207) N-Ac-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-ProNHCH(CH.sub.3).sub.2, (208) N-Ac-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-Pro-D-AlaNH.sub.2, (209) N-Ac-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-ProNHCH(CH.sub.3).sub.2, (210) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Pro-

SarNH.sub.2, (211) N-Ac-Sar-Gly-Val-D-alloIle-Thr-Nva-Ile-Arg-Pro-SarNH.sub.2, (212) N-Ac-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-Pro-SarNH.sub.2, (213) N-Ac-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-Pro-SarNH.sub.2, (214) N-Ac-Sar-Gly-Val-D-alloIle-Thr-Ser-Ile-Arg-Pro-D-AlaNH.sub.2, (215) N-Ac-Sar-Gly-Val-D-alloIle-Thr-Ser-Ile-Arg-ProNHCH(CH.sub.3).sub.2, (216) N-Ac-Sar-Gly-Val-D-alloIle-Thr-Ser-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (217) N-Ac-Sar-Gly-Val-D-Ile-Thr-Orn(Ac)-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (218) N-Ac-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-Pro-AzaglyNH.sub.2, (219) N-Ac-Sar-Gly-Val-D-alloIle-Thr-Nva-Ile-Arg-Pro-AzaglyNH.sub.2, (220) N-Ac-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-Pro-AzaglyNH.sub.2, (221) N-(2-THFcarbonyl)-Sar-Gly-Val-D-alloIle-Thr-Nva-Ile-Arg-Pro-NHCH.sub.2 CH.sub.3, (222) N-(2-THFcarbonyl)-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (223) N-(2-THFcarbonyl)-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-Pro-NHCH.sub.2 CH.sub.3, (224) N-(2-THFcarbonyl)-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-Pro-D-AlaNH.sub.2, (225) N-(2-THFcarbonyl)-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-Pro-D-AlaNH.sub.2, (226) N-(2-THFcarbonyl)-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-Pro-NHCH.sub.2 (CH.sub.3).sub.2, (227) N-(6-Ac-Aca)-Sar-Gly-Val-D-alloIle-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (228) N-(6-Ac-Aca)-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (229) N-(6-Ac-Aca)-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (230) N-(6-Ac-Aca)-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-Pro-D-AlaNH.sub.2, (231) N-(6-Ac-Aca)-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-Pro-D-AlaNH.sub.2, (232) N-(6-Ac-Aca)-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-ProNHCH (CH.sub.3).sub. 2, (233) N-(4-Ac-Gaba)-Sar-Gly-Val-D-alloIle-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (234) N-(4-Ac-Gaba)-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (235) N-(4-Ac-Gaba)-Sar-Gly-Val-alloIle-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (236) N-(4-Ac-Gaba)-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-Pro-D-AlaNH.sub.2, (237) N-(4-Ac-Gaba)-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-Pro-D-AlaNH.sub.2, (238) N-(4-Ac-Gaba)-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-Pro-NHCH (CH.sub.3). sub.2, (239) N-(2-Furoyl)-Sar-Gly-Val-D-alloIle-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (240) N-(2-Furoyl)-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (241) N-(2-Furoyl)-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (242) N-(2-Furoyl)-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-Pro-D-AlaNH.sub.2, (243) N-(2-Furoyl)-Sar-Gly-Val-alloIle-Thr-Gln-Ile-Arg-Pro-D-AlaNH.sub.2, (244) N-(2-Furoyl)-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-ProNHCH (CH.sub.3).sub. 2, (245) N-(Shikimyl)-Sar-Gly-Val-D-alloIle-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (246) N-(Shikimyl)-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (247) N-(Shikimyl)-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (248) N-(Shikimyl)-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-Pro-D-AlaNH.sub.2, (249) N-(Shikimyl)-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-Pro-D-AlaNH.sub.2, (250) N-(Shikimyl)-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-ProNHCH (CH.sub.3).sub. 2, (251) N-(2-Me-Nicotinyl)-Sar-Gly-Val-D-alloIle-Thr-Nva-Ile-Arg-Pro-NHCH.sub.2 CH.sub.3, (252) N-(2-Me-Nicotinyl)-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (253) N-(2-Me-Nicotinyl)-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-Pro-NHCH.sub.2 CH.sub.3, (254) N-(2-Me-Nicotinyl)-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-Pro-D-AlaNH.sub.2, (255) N-(2-Me-Nicotinyl)-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-Pro-D-AlaNH.sub. 2, (256) N-(2-Me-Nicotinyl)-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-Pro-NHCH(CH.sub. 3).sub.2, (257) N-Ac-Sar-Gly-Val-D-alloIle-Thr-Leu-Ile-Arg-Pro-D-AlaNH.sub.2, (258) N-Ac-Sar-Gly-Val-D-Ile-Thr-Leu-Ile-Arg-ProNHCH (CH.sub.3).sub.2, (259) N-Ac-Sar-Gly-Val-D-alloIle-Thr-Leu-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (260) N-Ac-Sar-Gly-Val-D-Ile-Thr-Leu-Ile-Arg-Pro-D-AlaNH.sub.2, (261) N-Succinyl-Sar-Gly-Val-D-Ile-Thr-Leu-Ile-Arg-Pro-D-AlaNH.sub.2, (262) N-Succinyl-Sar-Gly-Val-D-Ile-Thr-Leu-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (263) N-Succinyl-Sar-Gly-Val-D-Ile-Thr-Leu-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (264) N-Succinyl-Sar-Gly-Val-D-alloIle-Thr-Leu-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (265) N-Succinyl-Sar-Gly-Val-D-alloIle-Thr-Leu-Ile-Arg-Pro-D-AlaNH.sub.2, (266) N-Succinyl-Sar-Gly-Val-D-Ile-Thr-Leu-Ile-Arg-Pro-AzaglyNH.sub.2, (267) N-Ac-Sar-Gly-Val-D-alloIle-Thr-Nva-Ile-Arg-ProNHethyl-(1-pyrrolidine), (268) N-Ac-Sar-Gly-Val-D-alloIle-Thr-Nva-Ile-Arg-ProNH (ethyl-1-cyclohexyl), (269) N-Ac-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-ProNHethyl-(1-pyrrolidine), (270) N-Ac-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-ProNH(ethyl-1-cyclohexyl), (271) N-Succinyl-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-ProNH(ethyl-1-cyclohexyl), (272) N-Ac-Sar-Gly-Val-D-alloIle-Thr-Nva-Ile-Arg-ProNHCH.sub.2

CH.sub.2 OCH.sub.3, (273) N-Ac-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-ProNHCH.sub.2
CH.sub.2 OCH.sub.3, (274) N-Ac-Sar-Gly-Val-D-Ile-Thr-Ser-Ile-Arg-ProNHCH.sub.2
CH.sub.2 OCH.sub.3, (275) N-Ac-Sar-Gly-Val-D-Ile-Thr-Leu-Ile-Arg-ProNHCH.sub.2
CH.sub.2 OCH.sub.3, (276) N-Succinyl-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-
ProNHCH.sub.2 CH.sub.2 OCH.sub.3, (277) N-Succinyl-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-
Arg-ProNHCH.sub.2 CH.sub.2 OCH.sub.3, (278) N-Succinyl-Sar-Gly-Val-D-alloIle-Thr-
Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.2 OCH.sub.3, (279) N-Ac-Sar-Gly-Val-D-Ile-Ser-Nva-
Ile-Arg-ProNHCH.sub.2 CH.sub.2 OCH.sub.3, (280) N-Ac-Sar-Gly-Val-D-Leu-Ser-Nva-Ile-
Arg-ProNHCH.sub.2 CH.sub.2 OCH.sub.3, (281) N-Ac-Sar-Gly-Val-D-alloIle-Thr-Allygly-
Ile-Arg-ProNHCH.sub.2 CH.sub.3, (282) N-Ac-Sar-Gly-Val-D-Ile-Thr-Allygly-Ile-Arg-
ProNHCH(CH.sub.3).sub.2, (283) N-Ac-Sar-Gly-Val-D-Ile-Thr-Allygly-Ile-Arg-Pro-D-
AlaNH.sub.2, (284) N-Ac-Sar-Gly-Val-D-alloIle-Thr-Allygly-Ile-Arg-Pro-D-
AlaNH.sub.2, (285) N-Succinyl-Sar-Gly-Val-D-Ile-Thr-Allygly-Ile-Arg-Pro-D-
AlaNH.sub.2, (286) N-Ac-Sar-Gly-Val-D-Ile-Ser-Allygly-Ile-Arg-Pro-ProNHCH.sub.2
CH.sub.3, (287) N-Ac-Sar-Gly-Val-D-Leu-Ser-Allygly-Ile-Arg-Pro-ProNHCH.sub.2
CH.sub.3, (288) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Pro-SarNH.sub.2, (289) N-Ac-
Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHOH, (290) N-Ac-Sar-Gly-Val-D-Ile-Ser-Nva-
Ile-Arg-ProNHCH.sub.2 CH.sub.3, (291) N-Ac-Sar-Gly-Val-D-alloIle-Ser-Nva-Ile-Arg-
ProNHCH.sub.2 CH.sub.3. (292) N-Ac-Sar-Gly-Val-D-Leu-Hser-Nva-Ile-Arg-ProNHCH.sub.2
CH.sub.3, (293) N-Ac-Sar-Gly-Gln-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3,
(294) N-Ac-Sar-Gly-Nva-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (295) N-Ac-
Sar-Gly-Ile-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (296) N-Ac-Sar-Gly-Phe-D-
Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (297) N-Ac-Sar-Gly-Leu-D-Ile-Thr-Nva-
Ile-Arg-ProNHCH.sub.2 CH.sub.3, (298) N-Ac-Sar-Gly-Ser-D-Ile-Thr-Nva-Ile-Arg-
ProNHCH.sub.2 CH.sub.3, (299) N-Ac-Thr-Gly-Val-D-Leu-Thr-Nva-Ile-Arg-ProNHCH.sub.2
CH.sub.3, (300) N-Ac-Sar-Gly-Val-D-alloIle-Thr-Ala-Ile-Arg-ProNHCH.sub.2 CH.sub.3,
(301) N-Ac-Sar-Gly-Val-D-Ile-Thr-Ala-Ile-Arg-ProNHCH(CH.sub.3).sub.2, (302) N-Ac-
Sar-Gly-Val-D-Ile-Thr-Ala-Ile-Arg-Pro-D-AlaNH.sub.2, (303) N-Ac-Sar-Gly-Val-D-
alloIle-Thr-Ala-Ile-Arg-Pro-D-AlaNH.sub.2, (304) N-Succinyl-Sar-Gly-Val-D-Ile-Thr-
Ala-Ile-Arg-Pro-D-AlaNH.sub.2, (305) N-Ac-Sar-Gly-Val-D-Ile-Ser-Ala-Ile-Arg-
ProNHCH.sub.2 CH.sub.3, (306) N-Ac-Sar-Gly-Val-D-Leu-Ser-Ala-Ile-Arg-ProNHCH.sub.2
CH.sub.3, (307) N-Ac-Sar-Gly-Val-D-alloIle-Thr-Val-Ile-Arg-ProNHCH.sub.2 CH.sub.3,
(308) N-Ac-Sar-Gly-Val-D-Ile-Thr-Val-Ile-Arg-ProNHCH(CH.sub.3).sub.2, (309) N-Ac-
Sar-Gly-Val-D-Ile-Thr-Val-Ile-Arg-Pro-D-AlaNH.sub.2, (310) N-Ac-Sar-Gly-Val-D-
alloIle-Thr-Val-Ile-Arg-Pro-D-AlaNH.sub.2, (311) N-Succinyl-Sar-Gly-Val-D-Ile-Thr-
Val-Ile-Arg-Pro-D-AlaNH.sub.2, (312) N-Ac-Sar-Gly-Val-D-Ile-Ser-Val-Ile-Arg-
ProNHCH.sub.2 CH.sub.3, (313) N-Ac-Sar-Gly-Val-D-Leu-Ser-Val-Ile-Arg-ProNHCH.sub.2
CH.sub.3, (314) N-Ac-Sar-Gly-Val-D-alloIle-Thr-D-Nva-Ile-Arg-ProNHCH.sub.2
CH.sub.3, (315) N-Ac-Sar-Gly-Val-D-Ile-Thr-D-Nva-Ile-Arg-ProNHCH(CH.sub.3).sub.2,
(316) N-Ac-Sar-Gly-Val-D-Ile-Thr-D-Nva-Ile-Arg-Pro-D-AlaNH.sub.2, (317) N-Ac-Sar-
Gly-Val-D-alloIle-Thr-D-Nva-Ile-Arg-Pro-D-AlaNH.sub.2, (318) N-Succinyl-Sar-Gly-
Val-D-Ile-Thr-D-Nva-Ile-Arg-Pro-D-AlaNH.sub.2, (319) N-Ac-Sar-Gly-Val-D-Ile-Ser-D-
Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (320) N-Ac-Sar-Gly-Val-D-Leu-Ser-D-Nva-Ile-Arg-
ProNHCH.sub.2 CH.sub.3, (321) N-Ac-Sar-Gly-Val-D-Ile-Ser-Gln-Ile-Arg-ProNHCH.sub.2
CH.sub.3, (322) N-Ac-Sar-Gly-Val-D-Leu-Ser-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3,
(323) N-Ac-Sar-Gly-Val-D-Leu-Ser-Nva-Ile-Arg-Pro-D-AlaNH.sub.2, (324) N-Ac-Sar-Gly-
Val-D-Ile-Ser-Nva-Ile-Arg-Pro-D-AlaNH.sub.2, (325) N-Succinyl-Sar-Gly-Val-D-Leu-
Ser-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (326) N-Succinyl-Sar-Gly-Val-D-Ile-Ser-Nva-
Ile-Arg-ProNHCH.sub.2 CH.sub.3, (327) N-Succinyl-Sar-Gly-Val-D-Leu-Ser-Gln-Ile-Arg-
ProNHCH.sub.2 CH.sub.3, (328) N-Succinyl-Sar-Gly-Val-D-Ile-Ser-Gln-Ile-Arg-
ProNHCH.sub.2 CH.sub.3, (329) N-Ac-Sar-Gly-Val-D-Ile-Ser-Ser-Ile-Arg-ProNHCH.sub.2
CH.sub.3, (330) N-Ac-Sar-Gly-Val-D-Leu-Ser-Ser-Ile-Arg-ProNHCH.sub.2 CH.sub.3,
(331) N-Ac-Sar-Gly-Val-D-Leu-Ser-Nva-Ile-Arg-ProNHCH.sub.2, CH.sub.2 CH.sub.3,
(332) N-Ac-Sar-Gly-Val-D-Ile-Ser-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.2 CH.sub.3, (333)
N-Ac-Sar-Gly-Val-D-Leu-Ser-Leu-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (334) N-Ac-Sar-Gly-
Val-D-Ile-Ser-Leu-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (335) N-Ac-Sar-Gly-Val-D-alloIle-
Ser-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (336) N-Ac-Sar-Gly-Val-D-alloIle-Ser-Gln-
Ile-Arg-ProNHCH.sub.2 CH.sub.3, (337) N-Succinyl-Sar-Gly-Val-D-alloIle-Ser-Nva-Ile-
Arg-ProNHCH.sub.2 CH.sub.3, (338) N-Ac-Sar-Gly-Val-D-alloIle-Ser-Nva-Ile-Arg-
ProNHCH.sub.2 CH.sub.2 CH.sub.3, (339) N-Ac-Sar-Gly-Val-D-alloIle-Ser-Nva-Ile-Arg-

Pro-D-AlaNH.sub.2, (340) N-Ac-Sar-Gly-Val-D-alloIle-Ser-Leu-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (341) N-Ac-Sar-Gly-Val-D-alloIle-Ser-Ser-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (342) N-Ac-Sar-Gly-Val-D-Ile-Gly-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (343) N-Ac-Sar-Gly-Val-D-alloIle-Gly-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (344) N-Ac-Sar-Gly-Val-D-Leu-Gly-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (345) N-Ac-Sar-Gly-Val-D-Ile-Gly-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (346) N-Ac-Sar-Gly-Val-D-alloIle-Gly-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (347) N-Ac-Sar-Gly-Val-D-Ile-Tyr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (348) N-Ac-Sar-Gly-Val-D-alloIle-Tyr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (349) N-Ac-Sar-Gly-Val-D-Leu-Tyr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (350) N-Ac-Sar-Gly-Val-D-Ile-Tyr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (351) N-Ac-Sar-Gly-Val-D-alloIle-Tyr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (352) N-Ac-Sar-Gly-Val-D-Ser-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (353) N-Ac-Sar-Gly-Val-D-Thr-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (354) N-Ac-Sar-Gly-Val-D-Gln-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (355) N-Ac-Sar-Gly-Val-D-Asn-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (356) N-Ac-Sar-Gly-Val-D-Arg-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (357) N-Ac-Sar-Gly-Val-D-3-Pal-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (358) N-Ac-Sar-Gly-Val-D-Glu-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (359) N-Ac-Sar-Gly-Val-D-Asp-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (360) N-Ac-Sar-Gly-Val-D-His-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (361) N-Ac-Sar-Gly-Val-D-Hser-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (362) N-Ac-Sar-Gly-Val-D-alloThr-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (363) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-D-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (364) N-Ac-Sar-Gly-Val-D-Ser-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (365) N-Ac-Sar-Gly-Val-D-Thr-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (366) N-Ac-Sar-Gly-Val-D-alloThr-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (367) N-Ac-Sar-Gly-Val-D-Ser-Ser-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (368) N-Ac-Sar-Gly-Val-D-Thr-Ser-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (369) N-Ac-Sar-Gly-Val-D-alloThr-Ser-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (370) N-Ac-Sar-Gly-Val-D-alloThr-Ser-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (371) N-Ac-Sar-Gly-Val-D-Thr-Ser-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (372) N-(6-Ac-Aca)-Sar-Gly-Val-D-Leu-Ser-Gln-Ile-Arg-Pro NHCH.sub.2 CH.sub.3, (373) N-(6-Ac-Aca)-Sar-Gly-Val-D-Leu-Ser-Nva-Ile-Arg-Pro NHCH.sub.2 CH.sub.3, (374) N-(4-Ac-Gaba)-Sar-Gly-Val-D-Leu-Ser-Gln-Ile-Arg-Pro NHCH.sub.2 CH.sub.3, (375) N-(4-Ac-Gaba)-Sar-Gly-Val-D-Leu-Ser-Nva-Ile-Arg-Pro NHCH.sub.2 CH.sub.3, (376) N-(2-Furoyl)-Sar-Gly-Val-D-Leu-Ser-Gln-Ile-Arg-Pro NHCH.sub.2 CH.sub.3, (377) N-(2-Furoyl)-Sar-Gly-Val-D-Leu-Ser-Nva-Ile-Arg-Pro NHCH.sub.2 CH.sub.3, (378) N-(Shikimyl)-Sar-Gly-Val-D-Leu-Ser-Gln-Ile-Arg-Pro NHCH.sub.2 CH.sub.3, (379) N-(Shikimyl)-Sar-Gly-Val-D-Leu-Ser-Nva-Ile-Arg-Pro NHCH.sub.2 CH.sub.3, (380) (381) (382) N-(2-Me-nicotinyl)-Sar-Gly-Val-D-Leu-Ser-Gln-Ile-Arg-Pro CH.sub.2 CH.sub.3, (383) N-(2-Me-nicotinyl)-Sar-Gly-Val-D-Leu-Ser-Nva-Ile-Arg-Pro NHCH.sub.2 CH.sub.3, (384) N-Ac-Sar-Gly-Val-D-Leu-Ser-Nva-Ile-Arg-ProNHethyl-1-(R)-cyclohexyl, (385) N-Ac-Sar-Gly-Val-D-Leu-Ser-Gln-Ile-Arg-ProNHethyl-1-(R)cyclohexyl, (386) N-Ac-Sar-Gly-Val-D-Ile-Thr-Ser-Ile-Arg-ProNHethyl-1-(R)-cyclohexyl,

(387) N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Ile-Arg-ProNHethyl-1-(R)-cyclohexyl, (388) N-Ac-Sar-Gly-Val-D-Leu-Ser-Ser-Ile-Arg-ProNHethyl-1-(R)-cyclohexyl, (389) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHethyl-1-(S)-cyclohexyl, (390) N-Ac-Sar-Gly-Val-D-Pen-Ser-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (391) N-Ac-Sar-Gly-Val-D-Pen-Gly-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (392) N-Ac-Sar-Gly-Val-D-Pen-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (393) N-Ac-Sar-Gly-Val-D-Pen-Ser-Nva-Ile-Arg-ProNHCH (CH.sub.3).sub.2, (394) N-Succinyl-Sar-Gly-Val-D-Pen-Ser-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (395) N-Ac-Sar-Gly-Val-D-Pen-Ser-Nva-Ile-Arg-Pro-D-AlaNH.sub.2, (396) N-Ac-Sar-Gly-Val-D-Pen-Ser-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (397) N-Ac-Sar-Gly-Val-D-Pen-Gly-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (398) N-Ac-Sar-Gly-Val-D-Pen-Ser-Ser-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (399) N-Ac-Sar-Gly-Val-D-Pen-Thr-Ser-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (400) N-Ac-Sar-Gly-Val-D-Pen-Thr-Leu-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (401) N-Ac-Sar-Gly-Val-D-Pen-Ser-Leu-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (402) N-Succinyl-Sar-Gly-Val-D-Pen-Ser-Ser-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (403) N-Succinyl-Sar-Gly-Val-D-Pen-Ser-Leu-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (404) N-Succinyl-Sar-Gly-Val-D-Pen-Thr-Gln-Ile-Arg-ProNHCH(CH.sub.3).sub.2, (405) N-Ac-Sar-Gly-Val-D-Cys-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (406) N-Ac-Sar-Gly-Val-D-Cys-

Ser-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (407) N-Ac-Sar-Gly-Val-D-Cys-Gly-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (408) N-Ac-Sar-Gly-Val-D-Cys-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (409) N-Ac-Sar-Gly-Val-D-Cys-Ser-Nva-Ile-Arg-ProNHCH (CH.sub.3).sub.2, (410) N-Succinyl-Sar-Gly-Val-D-Cys-Ser-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (411) N-Ac-Sar-Gly-Val-D-Cys-Ser-Nva-Ile-Arg-Pro-D-AlaNH.sub.2, (412) N-Ac-Sar-Gly-Val-D-Cys-Ser-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (413) N-Ac-Sar-Gly-Val-D-Cys-Gly-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (414) N-Ac-Sar-Gly-Val-D-Cys-Ser-Ser-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (415) N-Ac-Sar-Gly-Val-D-Cys-Thr-Ser-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (416) N-Ac-Sar-Gly-Val-D-Cys-Thr-Leu-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (417) N-Ac-Sar-Gly-Val-D-Cys-Ser-Leu-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (418) N-Succinyl-Sar-Gly-Val-D-Cys-Ser-Ser-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (419) N-Succinyl-Sar-Gly-Val-D-Cys-Ser-Leu-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (420) N-Ac-Sar-Gly-Pen-DIle-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (421) N-Ac-Sar-Gly-Cys-DIle-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (422) N-Ac-Sar-Gly-Pen-D-alloIle-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (423) N-Ac-Sar-Gly-Pen-D-Leu-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (424) N-Ac-Sar-Gly-Pen-D-Ile-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (425) N-Ac-Sar-Gly-Pen-D-Ile-Ser-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (426) N-Ac-Sar-Gly-Pen-D-Ile-Thr-Nva-Ile-Arg-ProNHCH(CH.sub.3).sub.2, (427) N-Ac-Sar-Gly-Pen-D-Ile-Thr-Nva-Ile-Arg-Pro-D-AlaNH.sub.2, (428) N-Succinyl-Gly-Pen-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (429) N-Succinyl-Sar-Gly-Pen-D-Ile-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (430) N-Succinyl-Sar-Gly-Pen-D-Ile-Thr-Gln-Ile-Arg-ProNHCH(CH.sub.3).sub.2, (431) N-Ac-Sar-Gly-Val-D-Leu-Pen-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (432) N-Ac-Sar-Gly-Val-D-Ile-Pen-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (433) N-Ac-Sar-Gly-Val-D-alloIle-Pen-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (434) N-Ac-Sar-Gly-Val-D-Ile-Pen-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (435) N-Ac-Sar-Gly-Val-D-Ile-Pen-Ser-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (436) N-Ac-Sar-Gly-Val-D-Ile-Pen-Leu-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (437) N-Ac-Sar-Gly-Val-D-Ile-Pen-Nva-Ile-Arg-ProNHCH(CH.sub.3).sub.2, (438) N-Ac-Sar-Gly-Val-D-Ile-Pen-Nva-Ile-Arg-Pro-D-AlaNH.sub.2, (439) N-Succinyl-Sar-Gly-Val-D-Ile-Pen-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (440) N-Succinyl-Sar-Gly-Val-D-Ile-Pen-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (441) N-Succinyl-Sar-Gly-Val-D-Ile-Pen-Gln-Ile-Arg-ProNHCH (CH.sub.3).sub.2, (442) N-Ac-Sar-Gly-Val-D-Ile-Thr-Pen-Ile-Arg-ProNHCH.sub.2 CH.sub.2 OCH3, (443) N-Ac-Sar-Gly-Val-D-alloIle-Thr-Pen-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (444) N-Ac-Sar-Gly-Val-D-Leu-Thr-Pen-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (445) N-Ac-Sar-Gly-Val-D-Ile-Thr-Pen-Ile-Arg-Pro-D-AlaNH.sub.2, (446) N-Succinyl-Sar-Gly-Val-D-Ile-Thr-Pen-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (447) N-Ac-Sar-Gly-Val-D-Ile-Thr-Pen-Ile-Arg-ProNHCH(CH.sub.3).sub.2, (448) N-Ac-Sar-Gly-Val-D-Leu-Ser-Pen-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (449) N-Ac-Sar-Gly-Val-D-Leu-Gly-Pen-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (450) N-Succinyl-Sar-Gly-Val-D-Leu-Ser-Pen-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (451) N-Ac-Sar-Gly-Val-D-Phe(3,4,5-triF)-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (452) N-Ac-Sar-Gly-Val-D-Phe(3,4,5-triF)-Ser-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (453) N-Ac-Sar-Gly-Val-D-Phe(3,4,5-triF)-Gly-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (454) N-Ac-Sar-Gly-Val-D-Phe(3,4,5-triF)-Ser-Leu-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (455) N-Ac-Sar-Gly-Val-D-Phe(3,4,5-triF)-Ser-Nva-Ile-Arg-Pro-D-AlaNH.sub.2, (456) N-Succinyl-Sar-Gly-Val-D-Phe(3,4,5-triF)-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (457) N-Succinyl-Sar-Gly-Val-D-Phe(3,4,5-triF)-Ser-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (458) N-Succinyl-Sar-Gly-Val-D-Phe(3,4,5-triF)-Thr-Gln-Ile-Arg-ProNHCH(.sub.3). sub.2, (459) N-Ac-Sar-Gly-Val-D-Phe(3,4,5-triF)-Ser-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (460) N-Ac-Sar-Gly-Val-D-Phe(3,4,5-triF)-Ser-Ser-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (461) N-Ac-Sar-Ala-Val-D-alloIle-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (462) N-Ac-Sar-Ala-Val-D-Leu-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (463) N-Ac-Sar-Ala-Val-D-Ile-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (464) N-Ac-Sar-Ala-Val-D-Leu-Ser-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (465) N-Ac-Sar-Ala-Val-D-Leu-Ser-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (466) N-Succinyl-Sar-Ala-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (467) N-Succinyl-Sar-Ala-Val-D-Ile-Thr-Gln-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (468) N-Succinyl-Sar-Ala-Val-D-Ile-Thr-Gln-Nva-Ile-Arg-ProNHCH(CH.sub.3).sub.2, (469) N-Succinyl-Sar-Ala-Val-D-Ile-Thr-Gln-Nva-Ile-Arg-Pro-D-AlaNH.sub.2, (470) N-(3-Ac-Bala)-Sar-Gly-Val-D-alloIle-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (471) N-(3-Ac-Bala)-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (472) N-(3-Ac-Bala)-Sar-Gly-Val-D-alloIle-Thr-

Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (473) N-(3-Ac-Bala)-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-Pro-DAlaNH.sub.2, (474) N-(3-Ac-Bala)-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-Pro-DAlaNH.sub.2, (475) N-(3-Ac-Bala)-Sar-Gly-Val-D-alloIle-Thr-Gln-Ile-Arg-ProNHCH(CH.sub.3).sub. 2, (476) N-(3-Ac-Bala)-Sar-Gly-Val-D-Leu-Ser-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (477) N-(3-Ac-Bala)-Sar-Gly-Val-D-Leu-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (478) N-(3-Ac-Bala)-Sar-Gly-Val-D-Pen-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (479) N-(3-Ac-Bala)-Sar-Gly-Val-D-Ile-Ser-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (480) N-(3-Ac-Bala)-Sar-Ala-Val-D-alloIle-Ser-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (481) N-(3-Ac-Bala)-Sar-Ala-Val-D-Ile-Ser-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (482) N-(3-Ac-Bala)-Sar-Ala-Val-D-Leu-Ser-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (483) N-(3-Ac-Bala)-Sar-Ala-Val-D-Leu-Ser-Gln-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (484) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Pro-OH, (485) N-Ac-Sar-Gly-Val-D-alloIle-Thr-Nva-Ile-Arg-Pro-OH, (486) N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Ile-Arg-Pro-OH, (487) N-Ac-Sar-Gly-Val-D-Pen-Thr-Nva-Ile-Arg-Pro-OH, (488) N-Ac-Sar-Gly-Val-D-Phe(3,4,5-triF)-Thr-Nva-Ile-Arg-Pro-OH, (489) N-Ac-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-Pro-OH, (490) N-Ac-Sar-Gly-Val-D-Leu-Ser-Nva-Ile-Arg-Pro-OH, (491) N-Ac-Sar-Ala-Val-D-Ile-Thr-Nva-Ile-Arg-Pro-OH, (492) N-Ac-Sar-Gly-Val-D-Ile-Ser-Gln-Ile-Arg-Pro-OH, (493) N-Succinyl-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Pro-OH, (494) N-Succinyl-Sar-Gly-Val-D-Leu-Thr-Gln-Ile-Arg-Pro-OH, (495) N-Ac-Sar-Gly-Asp-D-Leu-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (496) N-Ac-Sar-Gly-Ala-D-Leu-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (497) N-Ac-Sar-Gly-Cha-D-Leu-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (498) N-Ac-Sar-Gly-Met-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (499) N-Ac-Cit-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (500) N-Ac-Sar-Gly-Val-D-Ile-Thr-Hser-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (501) N-Ac-Sar-Gly-Val-D-alloIle-His-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (502) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNH-n-Butyl, (503) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNH-iso-Butyl, (504) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNH-iso-Amyl, (505) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNH-n-hexyl, (506) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNH-(3,3-dimethyl)butyl, (507) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNH-(2-ethoxy)ethyl, (508) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNH-(2-isopropoxy)ethyl, (509) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNH-(3-methoxy)propyl, (510) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNH-(cyclopentyl)methyl, (511) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNH-cyclohexyl, (512) N-Ac-Sar-Gly-Val-allo-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (513) N-Ac-Sar-Gly-Val-D-Lys-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (514) N-Ac-Sar-Gly-Val-D-Trp-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (515) N-Ac-Sar-Gly-Val-D-3,3-Dipheylala-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (516) N-Ac-Sar-Gly-Val-D-3-Benzothienylala-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (517) N-Ac-Sar-Gly-Val-D-3,4diF-Phe-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (518) N-Ac-Sar-Gly-Val-D-Pen(Bzl)-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, (519) N-Ac-Sar-Gly-Val-D-Leu-Thr-Gln-Ile-Arg-ProNHCH(CH.sub.3).sub.2, (520) (521) N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Gln-Arg-ProNHCH.sub.2 CH.sub.3, (522) N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Pro-Arg-ProNHCH.sub.2 CH.sub.3, (523) N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Ser-Arg-ProNHCH.sub.2 CH.sub.3, (524) N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Trp-Arg-ProNHCH.sub.2 CH.sub.3, (525) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.2 OH, (526) N-Ac-Sar-Ser-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH.sub.2 CH.sub.3, and (527) N-Ac-Sar-Gly-Val-D-Ile-Thr-Leu-Ile-Arg-ProNHethyl-1-cyclohexyl.

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WO 92/02208

L9: Entry 15 of 16 File: DWPI Feb 20, 1992

DERWENT-ACC-NO: 1992-079768
DERWENT-WEEK: 199210

TITLE: Storage stable lyophilised doxorubicin contg. liposome(s) - prepd. from aq. suspension of controlled pH and drug content contg. cryo-protectant, useful as antitumour agent

Basic Abstract Text (1):
A lyophilised doxorubicin/liposome compsn. (A) is prepd. by lyophilising an aq. liposome suspension of pH 3-4 which contains (1) liposomes made mainly of neutral phospholipids, cholesterol (Ch) and a negatively charged lipid; (2) doxorubicin (I) at (I):lipid ratio 5-10 mole% with (I) concn. below 10 mg/ml; and (3) a cryoprotectant. (A) has less than 15% (I) breakdown after storage for 4 weeks at 40 deg.C..

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WO 88/09168

L9: Entry 16 of 16 File: DWPI Dec 1, 1988

DERWENT-ACC-NO: 1988-353797
DERWENT-WEEK: 198849

TITLE: Lyophilised liposome-doxorubicin compsn. - for reconstitution to injectable suspension of uniform bio-distribution and blood clearance properties

Basic Abstract Text (2):
Pref. the compsn. is reconstibutable with low osmolarity medium or distilled water to yield a concentrate which is new physiological osmolarity. A pref. cryoprotectant is tachalose or lactose, at a concn. of about 5%. A pref. liposome compsn. includes 30-70 mole % phosphatidylcholine, 20-50 mole % cholesterol and 10-15 mole % negatively charged phospholipid or sterol. There is also pref. present liposome-entrapped alpha-tocopherol or an analogue, derivative or ester of this cpd. Other suitable free radical scavengers are butylated hydroxytoluene and propyl gallate. Also there is pref. present a tri-hydroxamic acid water-soluble ferric ion chelator, esp. desferal, in molar excess of the ferric ion in the concentrate. The reconstituted compsn. may be diluted with a physiological aq. medium to a final liposome concn. suitable for intravenous injection.

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L9: Entry 12 of 16 File: USPT Oct 6, 1998

DOCUMENT-IDENTIFIER: US 5817334 A
TITLE: Method of making liposomes with improved stability during drying

Brief Summary Text (17):
The aggregation of unilamellar liposomes comprised of these less fluid phospholipids with cholesterol useful in the present invention was not prevented by having a disaccharide located inside as well as outside the liposome as done by the Crowes. However, greater than 90% retention and almost no aggregation is shown by the present invention if a combination of at least one sugar and at least one protein, polypeptide and/or oligopeptide is added to the aqueous solution of phospholipids of a less fluid nature plus cholesterol prior to formation of the liposomes, such that the cryoprotectant solution is distributed both inside and outside the liposome bilayer.

Detailed Description Text (8):
Suitable for use as a cryoprotectant in the present invention is a combination of at least one sugar and at least one protein, polypeptide, and/or oligopeptide. The liposomes of the present invention which are stable during drying are made by adding the combination of at least one sugar and at least one protein, polypeptide and/or oligopeptide to the aqueous medium used to hydrate the phospholipid(s) and optionally preferably, cholesterol mixture prior to liposome formation. Alternatively, the combination can be added just to the aqueous medium external to the liposomes and the internal aqueous medium optionally containing at least one sugar or optionally at least one protein, polypeptide and/or oligopeptide. The sugar may be sucrose, lactose, trehalose, maltose, or glucose. The weight ratio of the sugar to phospholipid is from about 0.5:1 to about 10:1. In the case of lactose and sucrose, the weight ratio of sugar to phospholipid(s) is about 4:1. The concentration of sugar is from about 2.5% to about 15% (w/v). The protein may be, for example albumin and the polypeptide, gelatin or casein. The weight ratio relative to phospholipid of said protein, polypeptide, and/or oligopeptide is from about 1:100 to about 2:1. In the case of the protein albumin, the weight ratio of albumin to phospholipid is about 1:1. The weight ratio of casein and gelatin to phospholipid is about 1:10. It is believed that the action of gelatin, casein, and serum albumin as cryoprotectants relates, at least in part, to their polymeric nature. This is seen in Table IV where amino acids, the major constituents of polypeptides, do not provide cryoprotection for liposomes. The cryoprotection effect of polypeptides and proteins may arise from their ability to coat the surface of liposomes. In so doing they could provide a means of resisting the close juxtaposition of liposome surfaces that may occur during freezing and drying and thus prevent aggregation and fusion. For example casein is known to coat other surfaces that it comes in contact with. The area covered for one layer is 1.27 M.sup.2 per mg casein. Encyclopedia of Polymer Science and Technology, Vol. 2 (1965) Interscience Publishers, pg. 861. A calculation of external surface areas of a solution of DSPC:cholesterol 2:1 liposomes 60 nm in average diameter and 25 mg/ml in lipid concentration gives about 5 M.sup.2. A solution of 2.5 mg/ml kappa casein is sufficient for good cryoprotection. If all the protein formed a layer on the liposomes the protein molecules would cover 63.5% of the surface area, probably sufficient to prevent deleterious effect of lyophilization. Other mechanisms can be at work as well. It will be understood by those skilled in the art that various combinations of sugars and proteins, polypeptides and oligopeptides can be utilized

within the confines of the present invention.

Detailed Description Text (17):
Small unilamellar vesicles (SUV) (liposomes) optionally with trace amounts of the ionophore A23187 were prepared from distearoyl phosphatidylcholine (DSPC) and cholesterol (Ch)(2:1 molar ratio) according to previous methods. Mauk and Gamble, Anal. Bioc., 94, 302-307 (1979), incorporated by reference herein. Briefly, a chloroform solution of 40-100 mg lipid (DSPC and Ch) was evaporated to dryness under nitrogen (N.sub.2) and further dried under vacuum overnight. The tube was filled with a volume of buffer or cryoprotectant solution optionally containing 1 mM nitrilotriacetic acid (NTA) or 100 mM 6-carboxyfluorescein and sonicated under N.sub.2 at 60.degree.-70.degree. C. for 5 to 15 minutes with an MSE brand probe sonicator equipped with a titanium microtip. Sonication or microemulsification yielded the small unilamellar liposomes used throughout these experiments.

Detailed Description Text (45):
The effects of placing cryoprotectants inside liposomes only or outside only or both inside and outside were tested. Samples of DSPC/cholesterol liposomes were prepared in 9% (w/v) lactose (Lac) or 9% (w/v) lactose plus 2.5 mg/ml gelatin (60 Bloom) (Lac-Gel). A separate sample of DSPC/cholesterol liposomes encapsulating PBS was also used. These preparations were exchanged for PBS, Lac or Lac-Gel as needed with gel chromatography to generate all permutations of cryoprotectants or buffer inside and outside the liposomes.

Detailed Description Paragraph Table (1):
TABLE I _____ TEST RESULTS OF POTENTIAL CRYOPROTECTANTS 25 mg/ml LIPID CONCENTRATION (DSPC:cholesterol, 2:1) After AFTER After Lyophilization ADDITION Freeze/ and SAMPLE (conc or range) OF AGENT.sup.a Thaw Reconstitution _____ 5 mM Phosphate Buffered + .sup. -.sup.b Saline 25 mM Ca.sup.+2 + - Low pH 4.0 + - High pH 10.0 + - 5 mM Tris buffered saline + - (0.9%) 5 mM Tris buffered dextrose + - (5%) 5 mM Tris buffered lactose + - (9%) 5% Dextrose (5 mM Pi) + - 9% Lactose (5 mM Pi) + + - 9% Sucrose (5 mM Pi) + + - 10% N-Methyl-Pyrrolidone + - Gycerol (10 mg/ml) + + - Glycerol (10 mg/ml)/9% lactose + + - PEG.sup.c 400 (10 mg/ml) + - PEG 1450 (10 mg/ml) - PEG 3350 (10 mg/ml) - PEG 4000 (10 mg/ml) - PEG 8000 (10 mg/ml) - Dextran 40,000 (10 mg/ml) - Dextran 500,000 (10 mg/ml) - Polyvinylpyrrolidone (10 mg/ml) + + - Ficoll (10 mg/ml) + + - Hydroxyethylcellulose - (10 mg/ml) Serum.sup.d (20 mg/ml) + + - Bovine Serum Albumin + + - (25 mg/ml) Gelatin.sup.e (10 mg/ml) + + - 9% Lactose/20% Serum + + + 9% Lactose/Albumin (25 mg/ml) + + + 9% Lactose/gelatin (5.0 mg/ml) + + + 9% Sucrose/gelatin (5.0 mg/ml) + + + _____ .sup.a +: Retains original qualitative solution characteristics. -: Fails to stabilize liposomes as measured by different analytical methods. .sup.b If a cryoprotectant received a minus at any stage of testing then no further tests were attempted using that cryoprotectant. .sup.c Polyethylene glycol .sup.d Bovine fetal serum .sup.e "Knox" gelatin

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